

10/599,636

=> d his

(FILE 'HOME' ENTERED AT 21:50:37 ON 25 FEB 2009)

FILE 'REGISTRY' ENTERED AT 21:50:51 ON 25 FEB 2009

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L1          STRUCTURE UPLOADED
L2          0 S L1
L3          312771 S 6-7/SZ
L4          4 S L1  SUB=L3 SAM
L5          123 S L1  SUB=L3 FUL
L6          121 S L5 AND CAPLUS/LC
L7          2 S L5 NOT L6
```

=> d 17 1-2

10/599,636

L7 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2009 ACS on STN

RN 791585-35-8 REGISTRY

ED Entered STN: 02 Dec 2004

CN Ethanone, 2-(methylamino)-1-[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

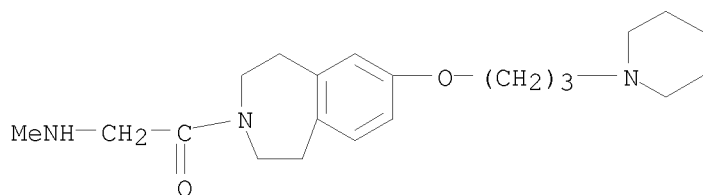
OTHER CA INDEX NAMES:

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-[(methylamino)acetyl]-7-[3-(1-piperidinyl)propoxy]- (9CI)

MF C21 H33 N3 O2

CI COM

SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

10/599,636

L7 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2009 ACS on STN

RN 762239-46-3 REGISTRY

ED Entered STN: 14 Oct 2004

CN Methanone, 2-pyrrolidinyl[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

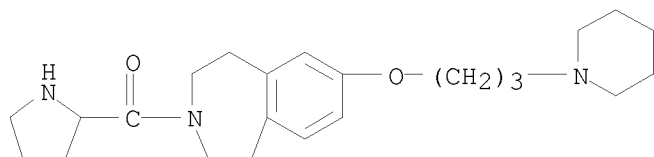
OTHER CA INDEX NAMES:

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3-(2-pyrrolidinylcarbonyl)- (9CI)

MF C23 H35 N3 O2

CI COM

SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

10/599,636

=> => d his

(FILE 'HOME' ENTERED AT 21:50:37 ON 25 FEB 2009)

FILE 'REGISTRY' ENTERED AT 21:50:51 ON 25 FEB 2009

L1               STRUCTURE UPLOADED

L2               0 S L1

L3               312771 S 6-7/SZ

L4               4 S L1   SUB=L3 SAM

L5               123 S L1   SUB=L3 FUL

L6               121 S L5 AND CAPLUS/LC

L7               2 S L5 NOT L6

FILE 'CAPLUS' ENTERED AT 21:57:21 ON 25 FEB 2009

L8               18 S L5

=> d ibib abs hitstr total

L8 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1447634 CAPLUS

DOCUMENT NUMBER: 148:54912

TITLE: Preparation of aryl and heteroaryl  
tetrahydrobenzazepine derivatives as 5-HT agonists  
useful for treating glaucoma

INVENTOR(S): Mohapatra, Suchismita; Hellberg, Mark R.; Feng, Zixia

PATENT ASSIGNEE(S): Alcon Manufacturing Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 13pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

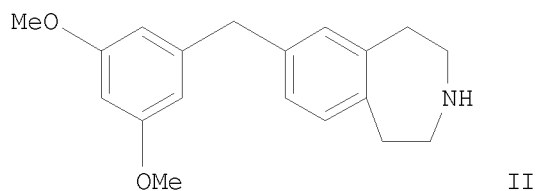
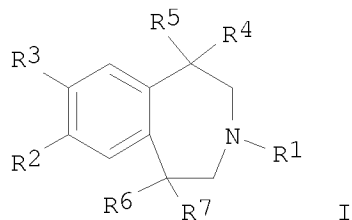
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070293475	A1	20071220	US 2007-761493	20070612
WO 2007149728	A2	20071227	WO 2007-US70931	20070612
WO 2007149728	A3	20080703		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				

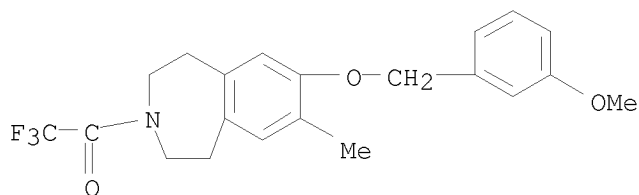
PRIORITY APPLN. INFO.: US 2006-814971P P 20060620

OTHER SOURCE(S): MARPAT 148:54912

GI



- AB Aryl tetrahydrobenzazepine derivs. of general formula I (wherein R1 = H or C1-4 alkyl; R2= H, OH, or alkoxy; R3 = -X-Ar, -OR8, etc.; R4, R5, R6, R7= H or C1-2 alkyl; R8 = H or C1-4 alkyl; X=O, -C(R9)(R10)-, etc.; Ar= (un)substituted Ph or pyridyl; R9, R10 = H or C1-4 alkyl) with minimal 5-HT2B activity relative to 5-HT2A and 5-HT2C activity that are useful for treating glaucoma are disclosed. Example compound II was prepared by reacting 7-chloro-1,2,4,5-tetrahydro-benzo[d]azepine-3-carboxylic acid tert-Bu ester with 3,5-dimethoxybenzyl zinc chloride. In an assay involving functional response of 5-HT2 receptor subtypes, II had EC50 values of 16.9, >10,000, and 20 nm, in activating the 5-HT2A, 5-HT2B, and 5-HT2C receptors, resp.
- IT 959867-55-1P, 7-[(3-Methoxybenzyl)oxy]-8-methyl-3-(trifluoroacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of aryl and heteroaryl tetrahydrobenzazepine derivs. as 5-HT agonists useful for treating glaucoma)
- RN 959867-55-1 CAPLUS
- CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-[(3-methoxyphenyl)methoxy]-8-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



L8 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1310609 CAPLUS

DOCUMENT NUMBER: 148:112284

TITLE: Structure activity relationship studies of carboxamido-biaryl ethers as opioid receptor antagonists (OpRAs). Part 2

AUTHOR(S): Takeuchi, Kumiko; Holloway, William G.; Mitch, Charles H.; Quimby, Steven J.; McKinzie, Jamie H.; Suter, Todd M.; Statnick, Michael A.; Surface, Peggy L.; Emmerson, Paul J.; Thomas, Elizabeth M.; Siegel, Miles G.

CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA

SOURCE: Bioorganic &amp; Medicinal Chemistry Letters (2007), 17(24), 6841-6846

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:112284

AB A series of 6-bicycloaryloxynicotinamides were identified as opioid receptor antagonists at mu, kappa, and delta receptors. Compds. in the 6-(2,3,4,5-tetrahydro-1H-benzo[c]azepin-7-yloxy)nicotinamide scaffold exhibited potent in vitro functional antagonism at all three receptors.

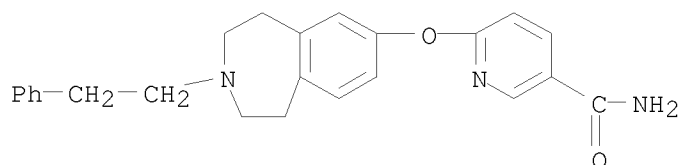
IT 676496-18-7P 676496-21-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(carboxamido-biaryl ethers as opioid receptor antagonists)

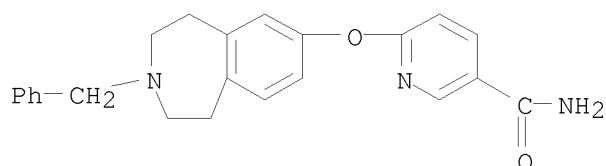
RN 676496-18-7 CAPLUS

CN 3-Pyridinecarboxamide, 6-[[2,3,4,5-tetrahydro-3-(2-phenylethyl)-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)



RN 676496-21-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-[[2,3,4,5-tetrahydro-3-(phenylmethyl)-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)



REFERENCE COUNT:

12

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1176022 CAPLUS

DOCUMENT NUMBER: 147:469249

TITLE: Benzazepinyloxyacetic acid derivatives as PPAR-delta agonists used for the increase of HDL-C, lower LDL-C and lower cholesterol and their preparation

INVENTOR(S): Kuo, Gee-Hong; Zhang, Yan; Shen, Lan; Lu, Songfeng; Demarest, Keith T.; Peiton, Patricia

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 113pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

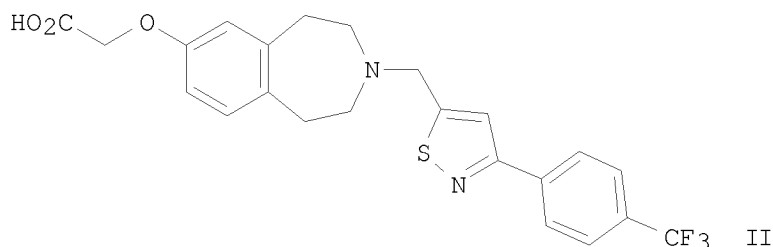
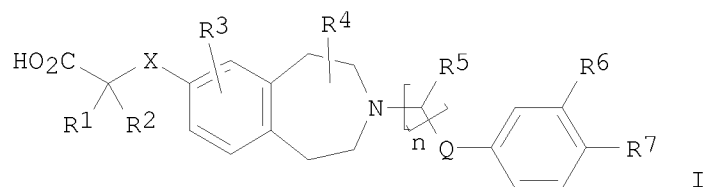
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070244094	A1	20071018	US 2007-736221	20070417
AU 2007237928	A1	20071025	AU 2007-237928	20070417
WO 2007121432	A2	20071025	WO 2007-US66772	20070417
WO 2007121432	A3	20081030		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 2010289	A2	20090107	EP 2007-760766	20070417
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
KR 2008109933	A	20081217	KR 2008-727903	20081114
PRIORITY APPLN. INFO.:			US 2006-793001P	P 20060418
			WO 2007-US66772	W 20070417

OTHER SOURCE(S): MARPAT 147:469249

GI



AB The invention is directed to compds. of formula I useful as PPAR agonists. Pharmaceutical compns. and methods of treating one or more conditions including, but not limited to, diabetes, nephropathy, neuropathy, retinopathy, polycystic ovary syndrome, hypertension, ischemia, stroke, irritable bowel disorder, inflammation, cataract, cardiovascular diseases, Metabolic X Syndrome, hyper-LDL-cholesterolemia, dyslipidemia (including hypertriglyceridemia, hypercholesterolemia, mixed hyperlipidemia, and hypo-HDL-cholesterolemia), atherosclerosis, obesity, and other disorders related to lipid metabolism and energy homeostasis complications thereof, using compds. of the invention are also described. Compds. of formula I wherein X is a covalent bond, O and S; R1 and R2 are independently H, and (un)substituted C1-8 alkyl; R1R2 and the carbon they are attached together may form C3-7 cycloalkyl; R3 is H; R4 and R5 are independently H, halo, C1-8 alkyl, C3-7 cycloalkyl, etc.; R5 and R7 are independently H, halo, C1-3 (halo)alkyl and C1-3 (halo)alkoxy; n is 1; Q is (un)substituted 5- to 6-membered heteroarom. ring; and their enantiomers, diastereoisomers, tautomers, solvates and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (detailed procedure given). All the invention compds. were evaluated for their PPAR- $\delta$  agonistic activity. From the assay, it was determined that compound II exhibited EC50 value of 34.1 nM against PPAR $\delta$ .

IT 952709-65-8P 952709-66-9P 952709-67-0P

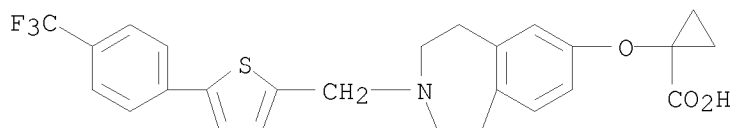
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzazepinyloxyacetic acid derivs. as PPAR- $\delta$  agonists useful for increasing HDL-C, lower LDL-C and lower cholesterol)

RN 952709-65-8 CAPLUS

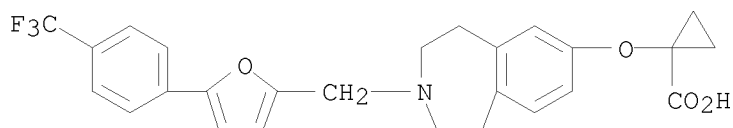
CN Cyclopropanecarboxylic acid, 1-[[2,3,4,5-tetrahydro-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)

10/599,636



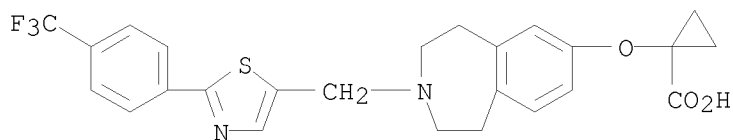
RN 952709-66-9 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[[[2,3,4,5-tetrahydro-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)



RN 952709-67-0 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[[[2,3,4,5-tetrahydro-3-[[2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)

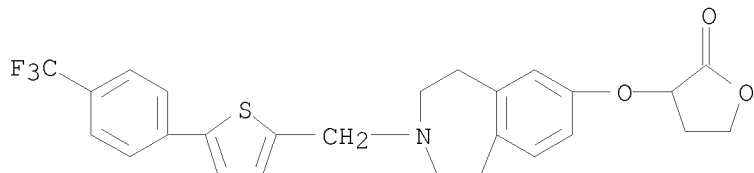


IT 952710-75-7P 952710-78-0P 952710-84-8P  
952710-85-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of benzazepinyloxyacetic acid derivs. as PPAR-delta agonists useful for increasing HDL-C, lower LDL-C and lower cholesterol)

RN 952710-75-7 CAPLUS

CN 2(3H)-Furanone, dihydro-3-[[[2,3,4,5-tetrahydro-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)

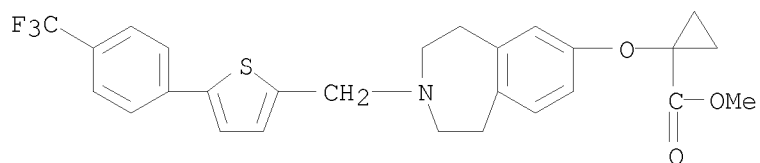


RN 952710-78-0 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[[[2,3,4,5-tetrahydro-3-[[5-[4-

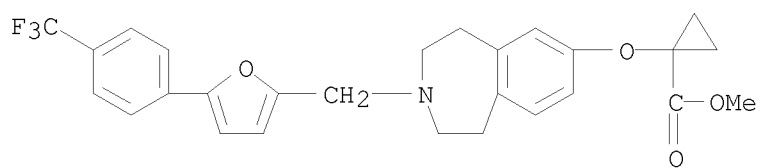
10/599,636

(trifluoromethyl)phenyl]-2-thienyl)methyl]-1H-3-benzazepin-7-yl]oxy]-,  
methyl ester (CA INDEX NAME)



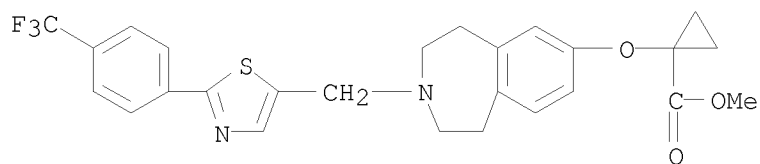
RN 952710-84-8 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[[2,3,4,5-tetrahydro-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]-1H-3-benzazepin-7-yl]oxy]-,  
methyl ester (CA INDEX NAME)



RN 952710-85-9 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[[2,3,4,5-tetrahydro-3-[[2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]-1H-3-benzazepin-7-yl]oxy]-,  
methyl ester (CA INDEX NAME)



L8 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:35810 CAPLUS

DOCUMENT NUMBER: 146:142521

TITLE: Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepines as antithrombotic agents

INVENTOR(S): Priepke, Henning; Dahmann, Georg; Gerlach, Kai; Pfau, Roland; Wienen, Wolfgang; Schuler-Metz, Annette; Handschuh, Sandra; Nar, Herbert

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH &amp; Co. KG

SOURCE: PCT Int. Appl., 185pp.

CODEN: PIXXD2

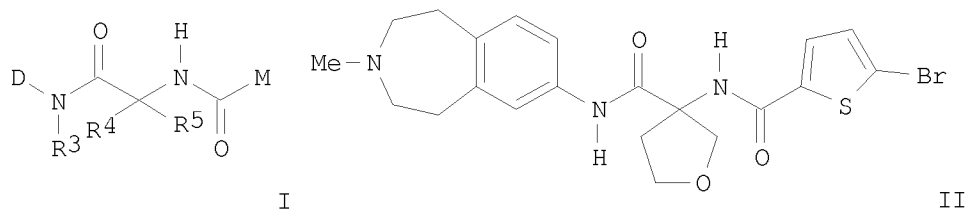
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007003536	A1	20070111	WO 2006-EP63611	20060628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006265216	A1	20070111	AU 2006-265216	20060628
CA 2613059	A1	20070111	CA 2006-2613059	20060628
EP 1899330	A1	20080319	EP 2006-763910	20060628
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU				
JP 2008546741	T	20081225	JP 2008-517518	20060628
NO 2007005186	A	20080214	NO 2007-5186	20071011
IN 2007DN09037	A	20080104	IN 2007-DN9037	20071123
MX 2007016253	A	20080307	MX 2007-16253	20071218
CN 101213195	A	20080702	CN 2006-80024267	20080102
KR 2008033318	A	20080416	KR 2008-702478	20080130
PRIORITY APPLN. INFO.:			EP 2005-14270	A 20050630
			WO 2006-EP63611	W 20060628
OTHER SOURCE(S):	MARPAT	146:142521		
GI				



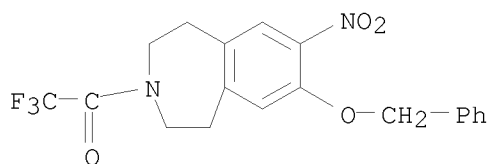
AB Title compds. I [D = substituted bicyclic ring system with provisos; R3 = H, alkyl; R4, R5 = H, alkyl, alkenyl, etc.; M = substituted thiophene with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, benzazepine II was prepared from 3-trifluoroacetyl-7-nitro-2,3,4,5-tetrahydro-1H-benzo(d)azepine in 6-steps. Compds. I are claimed useful as antithrombotic agents.

IT 919099-11-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of tetrahydrobenzazepines as antithrombotic agents)

RN 919099-11-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-nitro-8-(phenylmethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1354331 CAPLUS  
 DOCUMENT NUMBER: 146:93568  
 TITLE: MAO-B inhibitors useful for treating obesity  
 INVENTOR(S): McElroy, John F.; Chorvat, Robert J.; Rajagopalan, Parthasarathi  
 PATENT ASSIGNEE(S): Jenrin Discovery, USA  
 SOURCE: PCT Int. Appl., 109pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006138475	A2	20061228	WO 2006-US23337	20060615
WO 2006138475	A3	20071213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20070078172	A1	20070405	US 2006-424274	20060615
PRIORITY APPLN. INFO.:			US 2005-691323P	P 20050616
			US 2006-798467P	P 20060508

OTHER SOURCE(S): MARPAT 146:93568

AB The invention provides a method of treating obesity, diabetes, and/or cardiometabolic disorders (e.g., hypertension, dyslipidemias, high blood pressure, and insulin resistance) in a mammal by administering to the mammal a therapeutically effective amount of a MAO-B inhibitor.

IT 917873-69-9 917873-71-3 917873-72-4

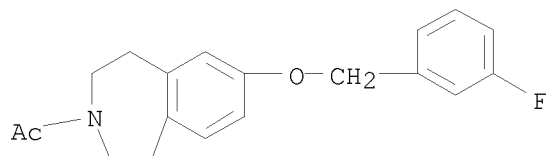
917873-74-6 917873-86-0 917873-88-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(MAO-B inhibitors useful for treating obesity)

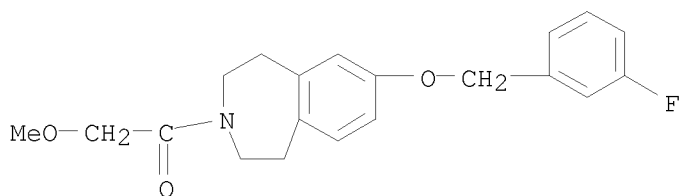
RN 917873-69-9 CAPLUS

CN Ethanone, 1-[7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



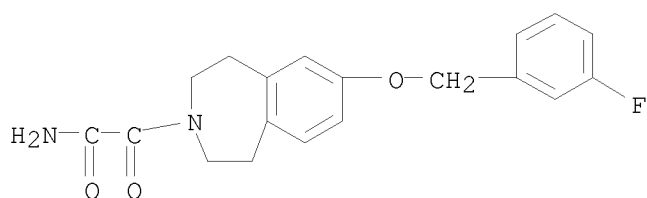
RN 917873-71-3 CAPLUS

CN Ethanone, 1-[7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2-methoxy- (CA INDEX NAME)



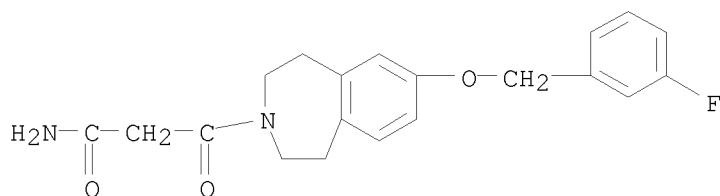
RN 917873-72-4 CAPLUS

CN 3H-3-Benzazepine-3-acetamide, 7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro- $\alpha$ -oxo- (CA INDEX NAME)



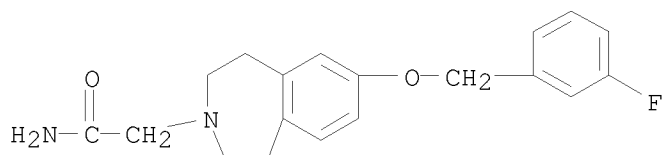
RN 917873-74-6 CAPLUS

CN 3H-3-Benzazepine-3-propanamide, 7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro- $\beta$ -oxo- (CA INDEX NAME)



RN 917873-86-0 CAPLUS

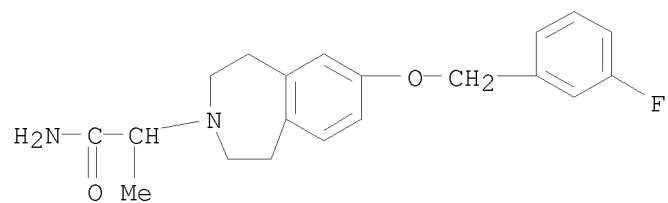
CN 3H-3-Benzazepine-3-acetamide, 7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro- (CA INDEX NAME)



RN 917873-88-2 CAPLUS

CN 3H-3-Benzazepine-3-acetamide, 7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro- $\alpha$ -methyl- (CA INDEX NAME)

10/599,636



L8 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:499075 CAPLUS

DOCUMENT NUMBER: 145:167059

TITLE: Synthesis and SAR of novel histamine H3 receptor antagonists

AUTHOR(S): Jesudason, Cynthia D.; Beavers, Lisa S.; Cramer, Jeffrey W.; Dill, Joelle; Finley, Don R.; Lindsley, Craig W.; Stevens, F. Craig; Gadski, Robert A.; Oldham, Samuel W.; Pickard, R. Todd; Siedem, Christopher S.; Sindelar, Dana K.; Singh, Ajay; Watson, Brian M.; Hipskind, Philip A.

CORPORATE SOURCE: Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly &amp; Company, Indianapolis, IN, 46285, USA

SOURCE: Bioorganic &amp; Medicinal Chemistry Letters (2006), 16(13), 3415-3418

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:167059

AB The synthesis and biol. evaluation of novel tetrahydroisoquinoline, tetrahydroquinoline, and tetrahydroazepine antagonists of the human and rat H3 receptors are described. The substitution around these rings as well as the nature of the substituent on nitrogen is explored. Several compds. with high affinity and selectivity for the human and rat H3 receptors are reported.

IT 667398-74-5P 667398-82-5P 667398-86-9P

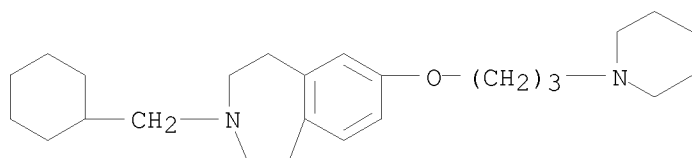
667398-87-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and SAR of novel tetrahydroisoquinoline, tetrahydroquinoline, and tetrahydroazepine histamine H3 receptor antagonists)

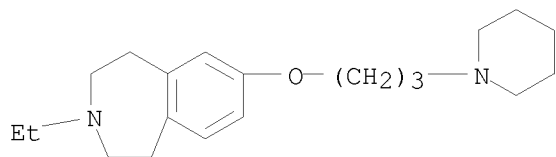
RN 667398-74-5 CAPLUS

CN 1H-3-Benzazepine, 3-(cyclohexylmethyl)-2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



RN 667398-82-5 CAPLUS

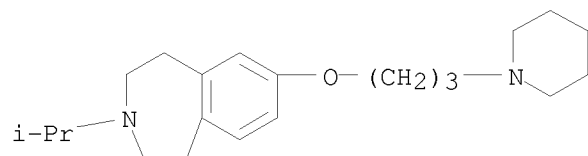
CN 1H-3-Benzazepine, 3-ethyl-2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



10/599,636

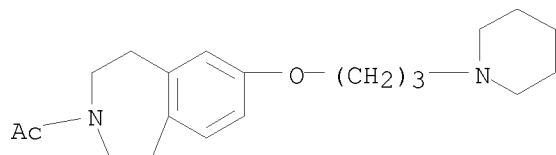
RN 667398-86-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



RN 667398-87-0 CAPLUS

CN Ethanone, 1-[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



REFERENCE COUNT:

34

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1126686 CAPLUS

DOCUMENT NUMBER: 143:386938

TITLE: Preparation of tertrahydrobenzazepines as histamine H3 and H1 receptor ligands

INVENTOR(S): Heightman, Thomas Daniel; Wilson, David Matthew

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

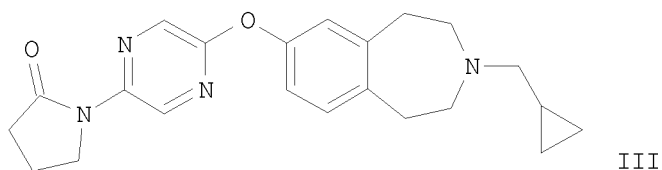
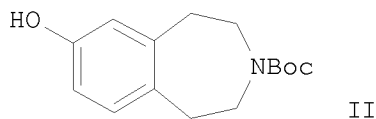
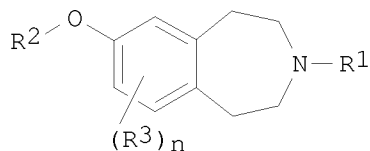
DOCUMENT TYPE: Patent

LANGUAGE: English

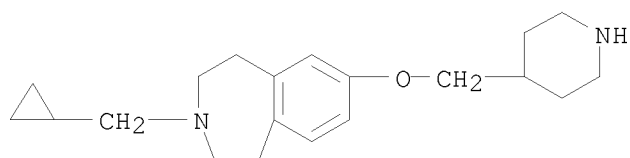
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

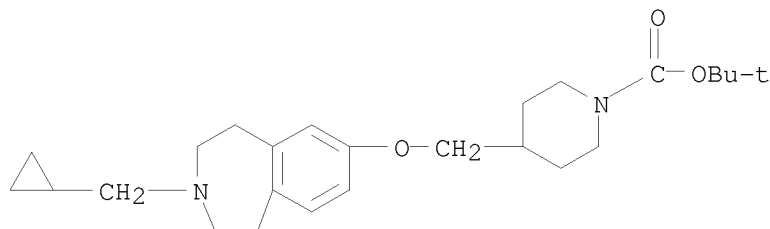
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097778	A1	20051020	WO 2005-GB1333	20050406
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1735299	A1	20061227	EP 2005-732871	20050406
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
JP 2007532523	T	20071115	JP 2007-506834	20050406
US 20080009479	A1	20080110	US 2006-599636	20061004
PRIORITY APPLN. INFO.:			GB 2004-8083	A 20040408
			WO 2005-GB1333	W 20050406
OTHER SOURCE(S):			CASREACT 143:386938; MARPAT 143:386938	
GI				



- AB Title compds. I [wherein R1 = (un)substituted alkyl; R2 = (un)substituted alkyl, aryl, etc.; R3 = halo, alkyl, alkoxy, cyano, amino or CF<sub>3</sub>; n = 0-2, or pharmaceutically acceptable salts thereof] were prepared as ligands of histamine receptors, especially histamine H<sub>3</sub> receptors. For instance, 2,5-dichloropyrazine, which was obtained from aminopyrazine in two steps, underwent successive substitution with phenol II and 2-pyrrolidinone followed by deprotection with TFA. The resultant amine was reductively alkylated with cyclopropanecarboxaldehyde in the presence of sodium triacetoxymethylborohydride and catalytic amount of HOAc to give III. This compound exhibited antagonism >9 pK<sub>B</sub> and < 6.5 pK<sub>B</sub> in the histamine H<sub>3</sub> and H<sub>1</sub> functional antagonist assays, resp. Therefore, I and their pharmaceutical compns. are useful in the treatment of neurol. and psychiatric disorders (no data).
- IT 866939-19-7P, 3-(Cyclopropylmethyl)-7-[(4-piperidinylmethyl)oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 866939-21-1P, 1,1-Dimethylethyl 4-[[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]methyl]-1-piperidinecarboxylate 866939-42-6P, 3-(Cyclopropylmethyl)-7-[(4-iodophenyl)oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 866939-51-7P, 1,1-Dimethylethyl 4-[[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-1-piperidinecarboxylate 866939-52-8P, 3-(Cyclopropylmethyl)-7-(4-piperidinyl)oxy)-2,3,4,5-tetrahydro-1H-3-benzazepine  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (ligand; preparation of tetrahydrobenzazepines as histamine H<sub>1</sub> and H<sub>3</sub> receptor ligands)
- RN 866939-19-7 CAPLUS
- CN 1H-3-Benzazepine, 3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-7-(4-piperidinylmethoxy)- (CA INDEX NAME)



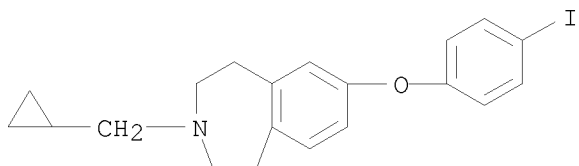
- RN 866939-21-1 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



10/599,636

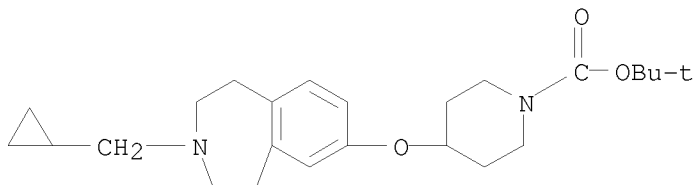
RN 866939-42-6 CAPLUS

CN 1H-3-Benzazepine, 3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-7-(4-iodophenoxy)- (CA INDEX NAME)



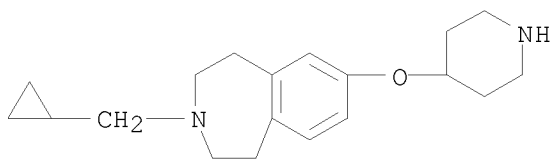
RN 866939-51-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 866939-52-8 CAPLUS

CN 1H-3-Benzazepine, 3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-7-(4-piperidinyloxy)- (CA INDEX NAME)



IT 866939-12-0P 866939-16-4P,

3-(1-Methylethyl)-7-[(phenylmethyl)oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 866939-17-5P,

3-(2-Methylpropyl)-7-[(phenylmethyl)oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 866939-18-6P,

3-Ethyl-7-[(phenylmethyl)oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 866939-22-2P, 4-[[4-[[[3-(Cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]methyl]-1-piperidinyl]carbonyl]benzonitrile

866939-23-3P, 3-(Cyclopropylmethyl)-7-[[[1-[(4-fluorophenyl)carbonyl]-4-piperidinyl]methyl]oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 866939-24-4P,

7-[[[1-(Cyclopropylcarbonyl)-4-piperidinyl]methyl]oxy]-3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine

866939-25-5P, 3-(Cyclopropylmethyl)-7-[[[1-[(tetrahydro-2H-pyran-4-yl)carbonyl]-4-piperidinyl]methyl]oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine

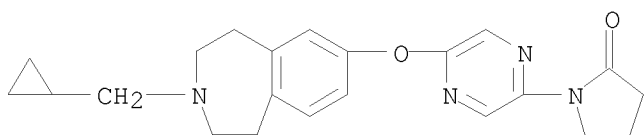
866939-26-6P, 1-[6-[[3-(1-Methylethyl)-2,3,4,5-tetrahydro-1H-3-

benzazepin-7-yl]oxy]-3-pyridinyl]-2-pyrrolidinone 866939-30-2P,  
 1-[6-[[3-(2-Methylpropyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]-2-pyrrolidinone 866939-31-3P,  
 1-[6-[[3-(2,2-Dimethylpropyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]-2-pyrrolidinone 866939-32-4P,  
 1-[6-[[3-(Cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]-2-pyrrolidinone 866939-33-5P,  
 1-[6-[[3-Ethyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]-2-pyrrolidinone 866939-34-6P,  
 1-[6-[[3-(1-Methylpropyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]-2-pyrrolidinone 866939-35-7P,  
 1-[6-[[3-(Cyclobutylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]-2-pyrrolidinone 866939-36-8P,  
 3-(Cyclopropylmethyl)-7-[[5-(3-methyl-1,2,4-oxadiazol-5-yl)-2-pyridinyl]oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 866939-41-5P,  
 1-[4-[[3-(Cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]phenyl]-3-methyl-2-imidazolidinone 866939-43-7P,  
 3-(Cyclopropylmethyl)-7-[(phenylmethyl)oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 866939-44-8P,  
 7-[(3-Cyclohexylpropyl)oxy]-3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine 866939-45-9P,  
 3-(Cyclopropylmethyl)-7-(phenyloxy)-2,3,4,5-tetrahydro-1H-3-benzazepine 866939-48-2P, Ethyl 4-[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]benzoate 866939-49-3P,  
 6-[[3-(Cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-N-methyl-3-pyridinecarboxamide 866939-50-6P,  
 5-[[3-(Cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-N-methyl-2-pyrazinecarboxamide 866939-53-9P,  
 4-[[4-[[3-(Cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-1-piperidinyl]carbonyl]benzonitrile 866939-54-0P,  
 1-[5-[[3-(Cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-2-pyridinyl]-2-pyrrolidinone 866939-58-4P,  
 1-[5-[[3-(2-Methylpropyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-2-pyridinyl]-2-pyrrolidinone 866939-59-5P,  
 1-[5-[[3-(1-Methylethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-2-pyridinyl]-2-pyrrolidinone  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(ligand; preparation of tetrahydrobenzazepines as histamine H1 and H3 receptor ligands)

RN 866939-12-0 CAPLUS

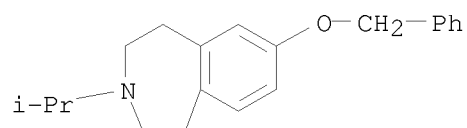
CN 2-Pyrrolidinone, 1-[5-[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-2-pyrazinyl]- (CA INDEX NAME)



RN 866939-16-4 CAPLUS

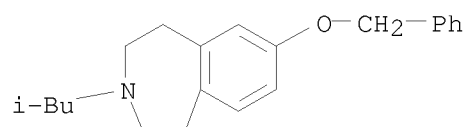
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-7-(phenylmethoxy)- (CA INDEX NAME)

10/599,636



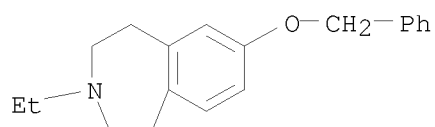
RN 866939-17-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(2-methylpropyl)-7-(phenylmethoxy)- (CA INDEX NAME)



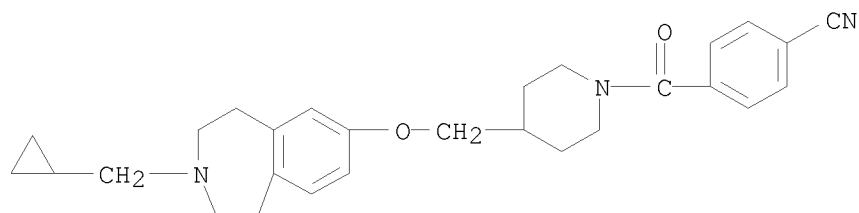
RN 866939-18-6 CAPLUS

CN 1H-3-Benzazepine, 3-ethyl-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



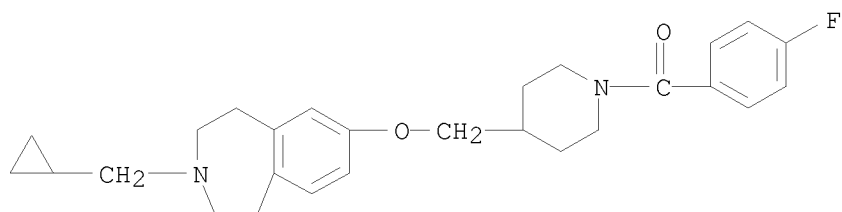
RN 866939-22-2 CAPLUS

CN Benzonitrile, 4-[[4-[[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]methyl]-1-piperidinyl]carbonyl]- (CA INDEX NAME)



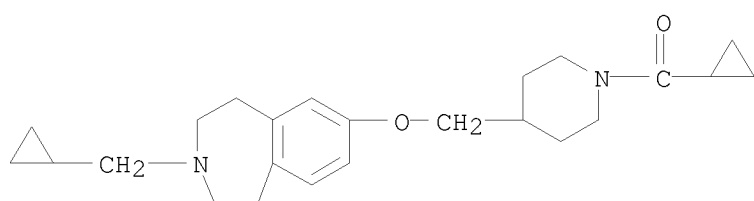
RN 866939-23-3 CAPLUS

CN Methanone, [4-[[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]methyl]-1-piperidinyl](4-fluorophenyl)- (CA INDEX NAME)



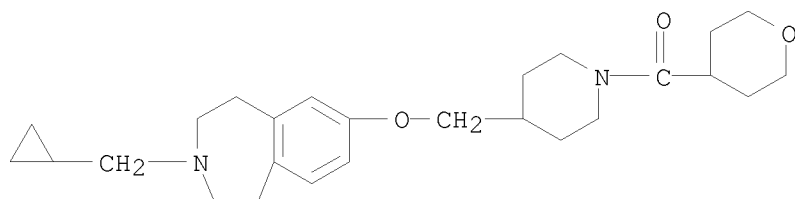
RN 866939-24-4 CAPLUS

CN Methanone, cyclopropyl[4-[[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]methyl]-1-piperidinyl]- (CA INDEX NAME)



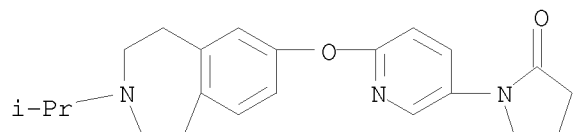
RN 866939-25-5 CAPLUS

CN Methanone, [4-[[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]methyl]-1-piperidinyl](tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)



RN 866939-26-6 CAPLUS

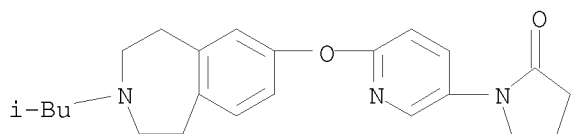
CN 2-Pyrrolidinone, 1-[6-[[[2,3,4,5-tetrahydro-3-(1-methylethyl)-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]- (CA INDEX NAME)



RN 866939-30-2 CAPLUS

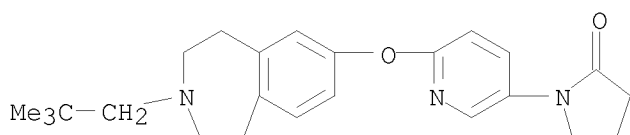
CN 2-Pyrrolidinone, 1-[6-[[[2,3,4,5-tetrahydro-3-(2-methylpropyl)-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]- (CA INDEX NAME)

10/599,636



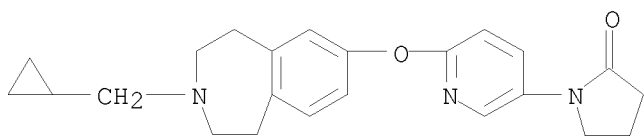
RN 866939-31-3 CAPLUS

CN 2-Pyrrolidinone, 1-[6-[[3-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]- (CA INDEX NAME)



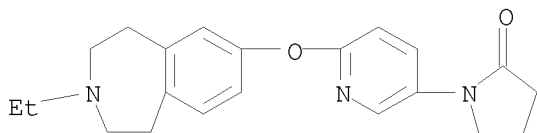
RN 866939-32-4 CAPLUS

CN 2-Pyrrolidinone, 1-[6-[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]- (CA INDEX NAME)



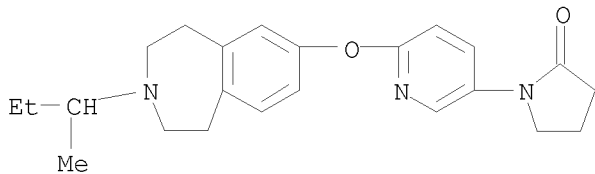
RN 866939-33-5 CAPLUS

CN 2-Pyrrolidinone, 1-[6-[[3-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]- (CA INDEX NAME)



RN 866939-34-6 CAPLUS

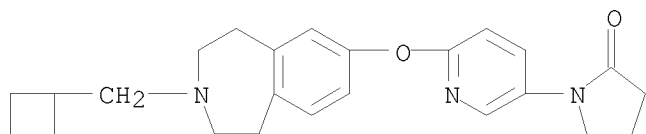
CN 2-Pyrrolidinone, 1-[6-[[2,3,4,5-tetrahydro-3-(1-methylpropyl)-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]- (CA INDEX NAME)



RN 866939-35-7 CAPLUS

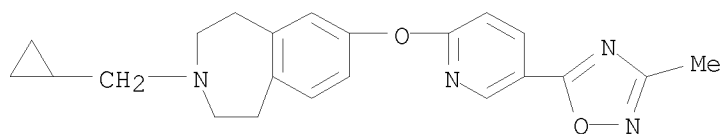
10/599,636

CN 2-Pyrrolidinone, 1-[6-[[3-(cyclobutylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-3-pyridinyl]- (CA INDEX NAME)



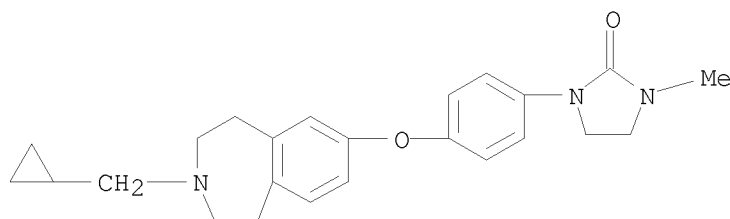
RN 866939-36-8 CAPLUS

CN 1H-3-Benzazepine, 3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-7-[[5-(3-methyl-1,2,4-oxadiazol-5-yl)-2-pyridinyl]oxy]- (CA INDEX NAME)



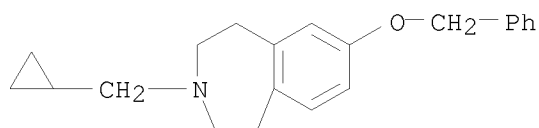
RN 866939-41-5 CAPLUS

CN 2-Imidazolidinone, 1-[4-[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]phenyl]-3-methyl- (CA INDEX NAME)



RN 866939-43-7 CAPLUS

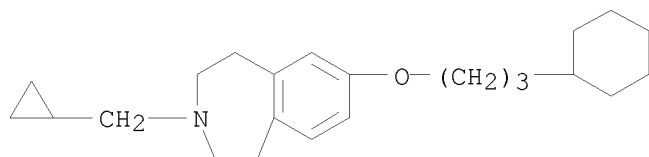
CN 1H-3-Benzazepine, 3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-7-(phenylmethoxy)- (CA INDEX NAME)



RN 866939-44-8 CAPLUS

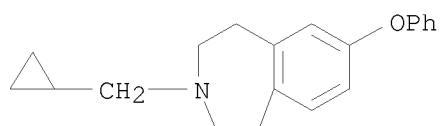
CN 1H-3-Benzazepine, 7-(3-cyclohexylpropoxy)-3-(cyclopropylmethyl)-2,3,4,5-tetrahydro- (CA INDEX NAME)

10/599,636



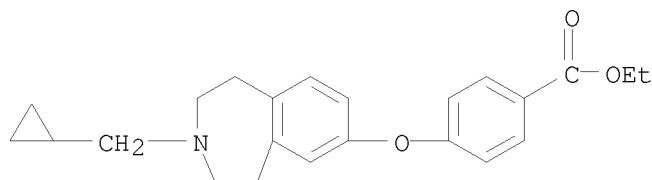
RN 866939-45-9 CAPLUS

CN 1H-3-Benzazepine, 3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-7-phenoxy- (CA INDEX NAME)



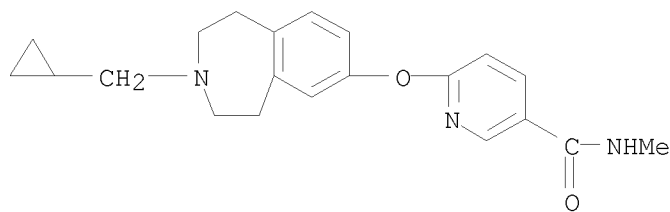
RN 866939-48-2 CAPLUS

CN Benzoic acid, 4-[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-, ethyl ester (CA INDEX NAME)



RN 866939-49-3 CAPLUS

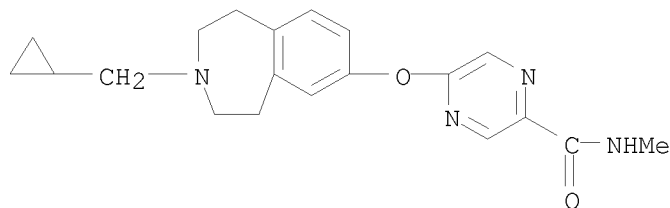
CN 3-Pyridinecarboxamide, 6-[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-N-methyl- (CA INDEX NAME)



RN 866939-50-6 CAPLUS

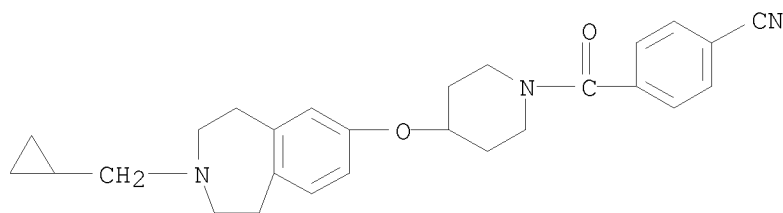
CN 2-Pyrazinecarboxamide, 5-[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-N-methyl- (CA INDEX NAME)

10/599,636



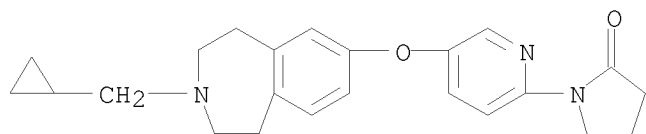
RN 866939-53-9 CAPLUS

CN Benzonitrile, 4-[[4-[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-1-piperidinyl]carbonyl]- (CA INDEX NAME)



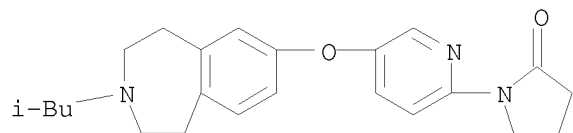
RN 866939-54-0 CAPLUS

CN 2-Pyrrolidinone, 1-[5-[[3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]oxy]-2-pyridinyl]- (CA INDEX NAME)



RN 866939-58-4 CAPLUS

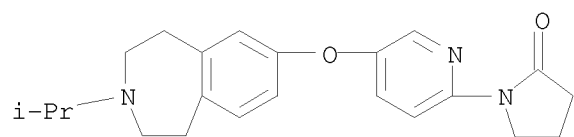
CN 2-Pyrrolidinone, 1-[5-[[2,3,4,5-tetrahydro-3-(2-methylpropyl)-1H-3-benzazepin-7-yl]oxy]-2-pyridinyl]- (CA INDEX NAME)



RN 866939-59-5 CAPLUS

CN 2-Pyrrolidinone, 1-[5-[[2,3,4,5-tetrahydro-3-(1-methylethyl)-1H-3-benzazepin-7-yl]oxy]-2-pyridinyl]- (CA INDEX NAME)

10/599,636



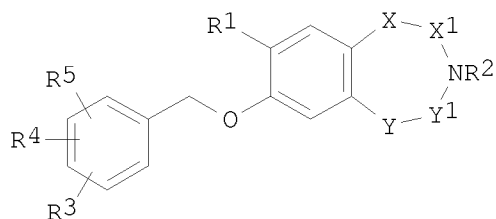
REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2005:395109 CAPLUS  
 DOCUMENT NUMBER: 142:447129  
 TITLE: Preparation of benzyloxybenzazepines as monoamine oxidase-B (MAO-B) inhibitors  
 INVENTOR(S): Jolidon, Synese; Rodriguez Sarmiento, Rosa Maria; Thomas, Andrew William; Wostl, Wolfgang; Wyler, Rene  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005039591	A1	20050506	WO 2004-EP11541	20041014
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004283452	A1	20050506	AU 2004-283452	20041014
CA 2543287	A1	20050506	CA 2004-2543287	20041014
EP 1680127	A1	20060719	EP 2004-790400	20041014
EP 1680127	B1	20081015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1871013	A	20061129	CN 2004-80030923	20041014
BR 2004015842	A	20070102	BR 2004-15842	20041014
JP 2007509094	T	20070412	JP 2006-536004	20041014
AT 411027	T	20081015	AT 2004-790400	20041014
US 20050107360	A1	20050519	US 2004-967567	20041018
US 7173023	B2	20070206		
TW 254707	B	20060511	TW 2004-93131855	20041020
MX 2006004307	A	20060605	MX 2006-4307	20060418
KR 2006061390	A	20060607	KR 2006-707779	20060421
KR 856141	B1	20080903		
IN 2006CN01382	A	20070622	IN 2006-CN1382	20060421
NO 2006001907	A	20060712	NO 2006-1907	20060428
US 20070117791	A1	20070524	US 2007-652970	20070112
PRIORITY APPLN. INFO.:			EP 2003-24297	A 20031023
			WO 2004-EP11541	W 20041014
			US 2004-967567	A3 20041018
OTHER SOURCE(S):		CASREACT 142:447129; MARPAT 142:447129		
GI				



I

AB Title compds. [I; R1 = H, Me; R2 = H, alkyl, CH<sub>2</sub>CONH<sub>2</sub>, CHMeCONH<sub>2</sub>, SO<sub>2</sub>Me, COR<sub>6</sub>; R3-R5 = H, halo, cyano, alkyl, alkoxy; R6 = H, Me, CH<sub>2</sub>OMe, CONH<sub>2</sub>, CH<sub>2</sub>CONH<sub>2</sub>, OMe, NH<sub>2</sub>, NHet; XX1, YY1 = CH<sub>2</sub>CH<sub>2</sub>, CH:CH, CH<sub>2</sub>CO; or XX1 = CH<sub>2</sub>, YY1 = CH<sub>2</sub>CH<sub>2</sub>CO; with provisos], were prepared Thus, Ac<sub>2</sub>O and HCO<sub>2</sub>H were stirred 2 h at 60°; the mixture was cooled to room temperature, diluted with THF, and 7-(3-fluorobenzoyloxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine in THF/CH<sub>2</sub>Cl<sub>2</sub> was added followed by stirring for 1 h to give 82% 7-(3-fluorobenzoyloxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine-3-carboxaldehyde. The latter inhibited human MAO-B with IC<sub>50</sub> = 0.007 μM.

IT 917873-69-9P 917873-71-3P 917873-72-4P

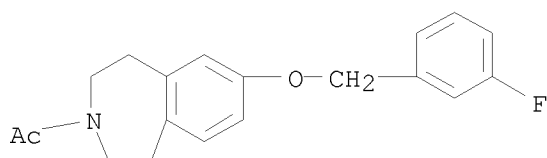
917873-74-6P 917873-86-0P 917873-88-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of benzyloxybenzazepines as monoamine oxidase-B inhibitors)

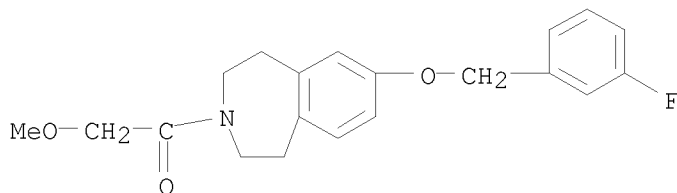
RN 917873-69-9 CAPLUS

CN Ethanone, 1-[7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



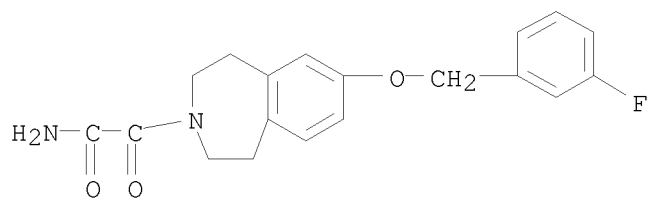
RN 917873-71-3 CAPLUS

CN Ethanone, 1-[7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2-methoxy- (CA INDEX NAME)



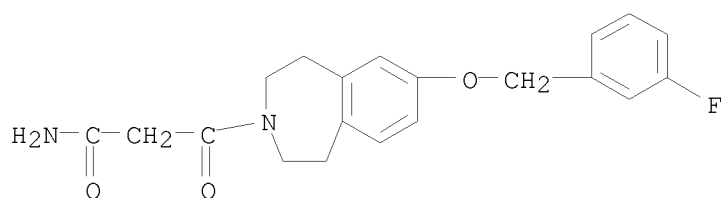
RN 917873-72-4 CAPLUS

CN 3H-3-Benzazepine-3-acetamide, 7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro- $\alpha$ -oxo- (CA INDEX NAME)



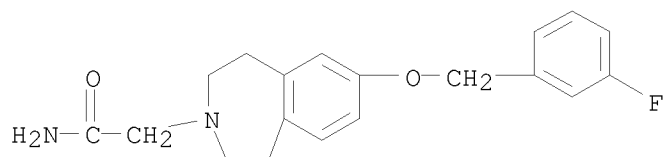
RN 917873-74-6 CAPLUS

CN 3H-3-Benzazepine-3-propanamide, 7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro- $\beta$ -oxo- (CA INDEX NAME)



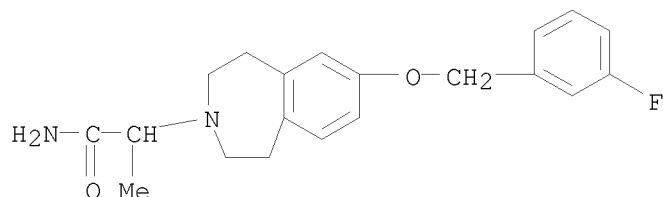
RN 917873-86-0 CAPLUS

CN 3H-3-Benzazepine-3-acetamide, 7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro- (CA INDEX NAME)



RN 917873-88-2 CAPLUS

CN 3H-3-Benzazepine-3-acetamide, 7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro- $\alpha$ -methyl- (CA INDEX NAME)



IT 851343-18-5P 851343-19-6P

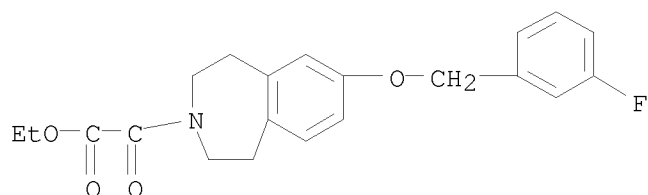
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

10/599,636

(preparation of benzyloxybenzazepines as monoamine oxidase-B inhibitors)

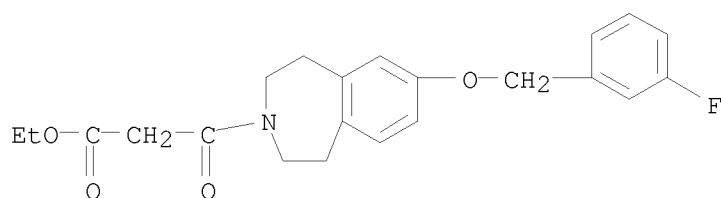
RN 851343-18-5 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro- $\alpha$ -oxo-, ethyl ester (CA INDEX NAME)



RN 851343-19-6 CAPLUS

CN 3H-3-Benzazepine-3-propanoic acid, 7-[(3-fluorophenyl)methoxy]-1,2,4,5-tetrahydro- $\beta$ -oxo-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:354915 CAPLUS

DOCUMENT NUMBER: 140:375086

TITLE: A preparation of benzo[d]azepine derivatives as a histamine H3 receptor antagonists useful for the treatment of neurological and psychiatric disorders

INVENTOR(S): Heightman, Thomas Daniel; Wilson, David Matthew

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035544	A1	20040429	WO 2003-EP11421	20031014
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003278084	A1	20040504	AU 2003-278084	20031014
PRIORITY APPLN. INFO.:			GB 2002-24083	A 20021016
			WO 2003-EP11421	W 20031014
OTHER SOURCE(S):		MARPAT 140:375086		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to novel benzoazepine derivs. of formula I [wherein: R1 = H, (un)substituted (cyclo)alkyl, (hetero)aryl, or alkyl-aryl, etc.; R2 = H, alkyl, alkoxy, CN, NH2, or CF3; R3 represents -(CH2)2-4-NR4R5 or a group of formula II; R4 and R5 independently represent alkyl or, together with the nitrogen atom to which they are attached, represent an N-linked nitrogen-containing (un)substituted heterocycle; X represents bond, alkyl, C(O), or SO2, etc.; Z = (CH2)0-3; Y = (CH2)0-2; R6 = H, (cyclo)alkyl, alkyl-aryl, or heterocyclyl; R7 = halogen, (halo)alkyl, or OH, etc.] useful for the treatment of neurol. and psychiatric disorders. The invented compds. were screened for histamine H3 receptor activity (histamine H3 binding assay and functional antagonist assay). The prepared compds. exhibited antagonism in the range 6.0-10.0 pKb. For instance, compound III (8.0-10.0 pKb) was prepared via decarboxylation of the prepared benzoazepine IV by treatment with CF3CO2H in CH2Cl2 at 0 °C (example 1, no yield data).

IT 667398-74-5P, 3-Cyclohexylmethyl-7-[3-(piperidin-1-yl)propoxy]-1,2,4,5-tetrahydro-1H-benzo[d]azepine 667398-78-9P, 3-(Phenylmethyl)-7-[3-(1-piperidinyl)propyl]oxy]-2,3,4,5-tetrahydro-1H-3-

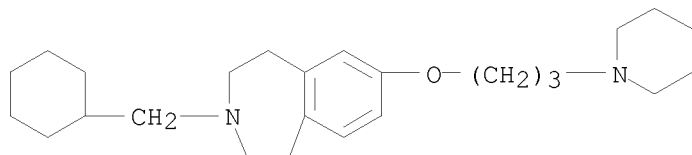
benzazepine 667398-87-0P,  
 1-[7-[3-(Piperidin-1-yl)propoxy]-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl]ethanone 684250-33-7P,  
 3-Cyclopropylmethyl-7-[3-(piperidin-1-yl)propoxy]-1,2,4,5-tetrahydro-1H-benzo[d]azepine 684250-34-8P,  
 3-(4-Methoxybenzyl)-7-[3-piperidin-1-yl-propoxy]-1,2,4,5-tetrahydro-1H-benzo[d]azepine 684250-35-9P,  
 7-[3-(Piperidin-1-yl)propoxy]-3-(tetrahydrofuran-2-ylmethyl)-1,2,4,5-tetrahydro-1H-benzo[d]azepine 684250-36-0P,  
 3-(2-Naphthalenylmethyl)-7-[[3-[1-piperidinyl]propyl]oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 684250-37-1P,  
 3-((2E)-3-Phenyl-2-propen-1-yl)-7-[[3-(1-piperidinyl)propyl]oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 684250-38-2P 684250-39-3P  
 684250-40-6P 684250-41-7P,  
 4-[[7-[3-(1-Piperidinyl)propyl]oxy]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)methyl]benzonitrile 684250-42-8P,  
 N,N-Dimethyl-4-[(7-[3-(1-piperidinyl)propyl]oxy)-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)methyl]aniline 684250-43-9P,  
 N-[4-[[7-[3-(1-Piperidinyl)propyl]oxy]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)methyl]phenyl]acetamide 684250-44-0P,  
 3-Isobutyl-7-[3-(piperidin-1-yl)propoxy]-1,2,4,5-tetrahydro-1H-benzo[d]azepine 684250-56-4P,  
 3-Cyclopropylmethyl-7-[4-(piperidin-1-yl)butoxy]-2,3,4,5-tetrahydro-1H-benzo[d]azepine 684250-57-5P,  
 3-Cyclopropylmethyl-7-[2-(piperidin-1-yl)ethoxy]-2,3,4,5-tetrahydro-1H-benzo[d]azepine 684250-59-7P,  
 7-[[1-(1-Methylethyl)-4-piperidinyl]oxy]-3-(phenylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine 684250-61-1P,  
 3-Cyclopropylmethyl-7-[(1-isopropylpiperidin-4-yl)oxy]-2,3,4,5-tetrahydro-1H-benzo[d]azepine 684250-69-9P,  
 3-[(3,4-Dichlorophenyl)carbonyl]-7-[[3-(1-piperidinyl)propyl]oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 684250-71-3P,  
 3-[2-(Methyloxy)ethyl]-7-[[3-(1-piperidinyl)propyl]oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 684250-72-4P,  
 3-[(1,3-Dioxolan-2-yl)methyl]-7-[[3-(1-piperidinyl)propyl]oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 684250-73-5P,  
 3-[2-(Phenylsulfonyl)ethyl]-7-[[3-(1-piperidinyl)propyl]oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 684250-74-6P,  
 [7-[[3-(1-Piperidinyl)propyl]oxy]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]acetonitrile 684250-75-7P,  
 3-(Phenylcarbonyl)-7-[[3-(1-piperidinyl)propyl]oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine 684250-76-8P 684250-77-9P,  
 7-[[3-(1-Piperidinyl)propyl]oxy]-3-(3,3,3-trifluoropropyl)-2,3,4,5-tetrahydro-1H-3-benzazepine 684250-78-0P,  
 N,N-Diethyl-2-[7-[[3-(1-piperidinyl)propyl]oxy]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]acetamide 696607-42-8P 696607-66-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzo[d]azepine derivs. useful for treatment of neurol. and psychiatric disorders)

RN 667398-74-5 CAPLUS

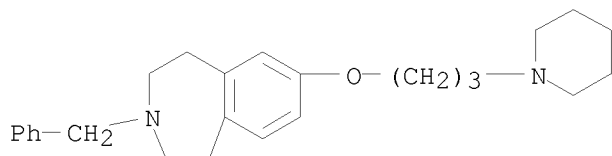
CN 1H-3-Benzazepine, 3-(cyclohexylmethyl)-2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)

10/599,636



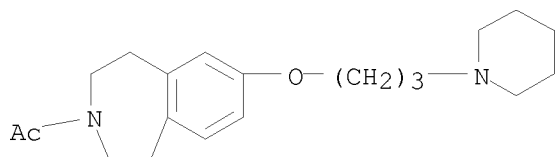
RN 667398-78-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



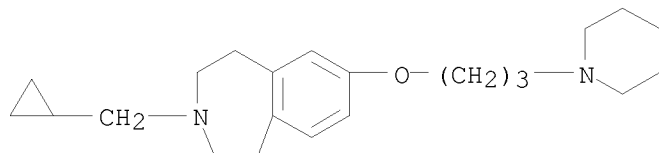
RN 667398-87-0 CAPLUS

CN Ethanone, 1-[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 684250-33-7 CAPLUS

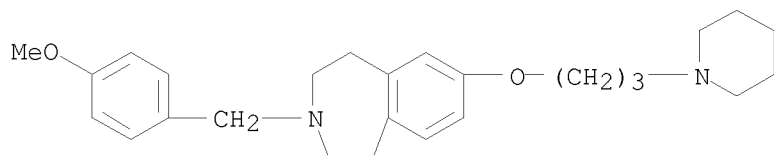
CN 1H-3-Benzazepine, 3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



RN 684250-34-8 CAPLUS

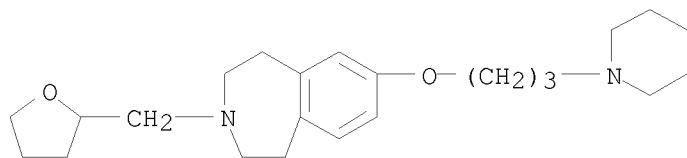
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-[(4-methoxyphenyl)methyl]-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)

10/599,636



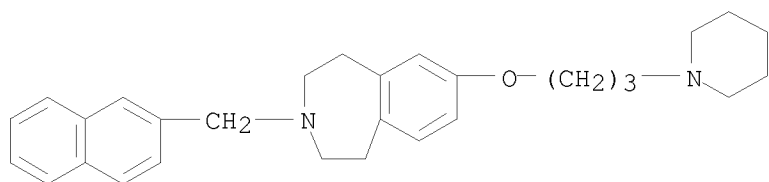
RN 684250-35-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)



RN 684250-36-0 CAPLUS

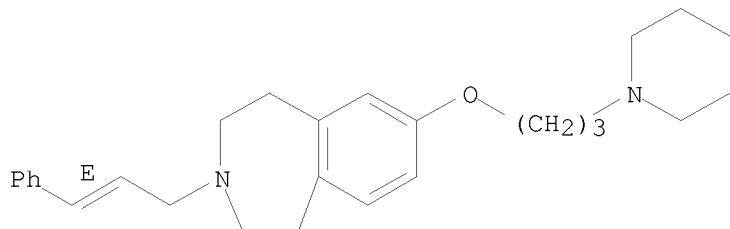
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(2-naphthalenylmethyl)-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



RN 684250-37-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-[(2E)-3-phenyl-2-propen-1-yl]-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)

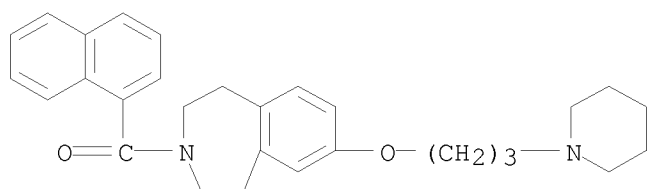
Double bond geometry as shown.



RN 684250-38-2 CAPLUS

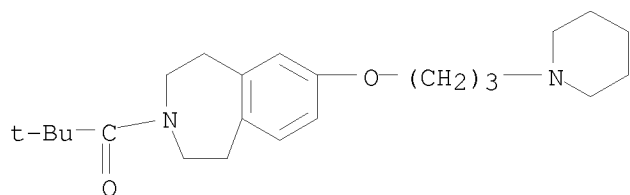
CN Methanone, 1-naphthalenyl[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

10/599,636



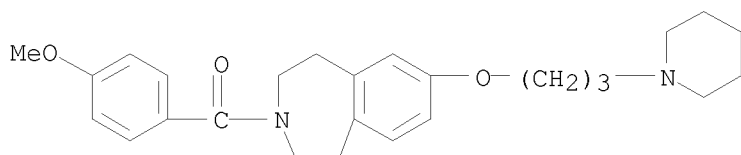
RN 684250-39-3 CAPLUS

CN 1-Propanone, 2,2-dimethyl-1-[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



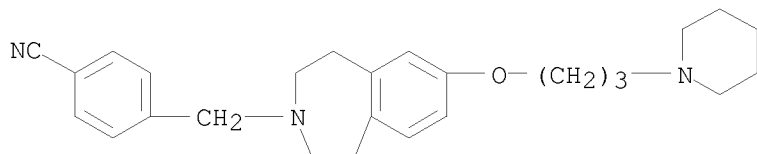
RN 684250-40-6 CAPLUS

CN Methanone, (4-methoxyphenyl) [1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 684250-41-7 CAPLUS

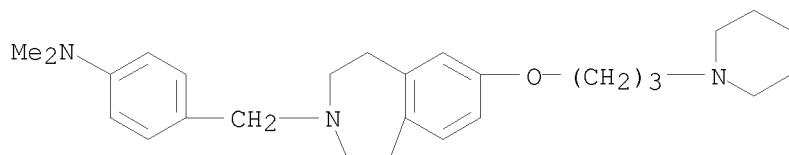
CN Benzonitrile, 4-[[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]methyl]- (CA INDEX NAME)



RN 684250-42-8 CAPLUS

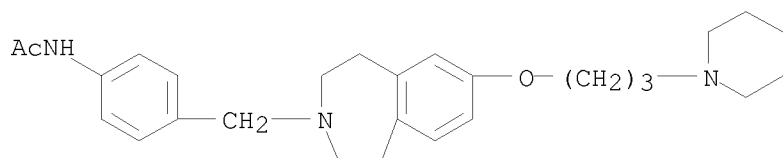
CN Benzenamine, N,N-dimethyl-4-[[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]methyl]- (CA INDEX NAME)

10/599,636



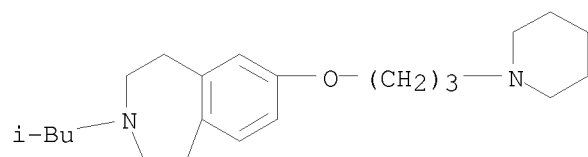
RN 684250-43-9 CAPLUS

CN Acetamide, N-[4-[[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]methyl]phenyl]- (CA INDEX NAME)



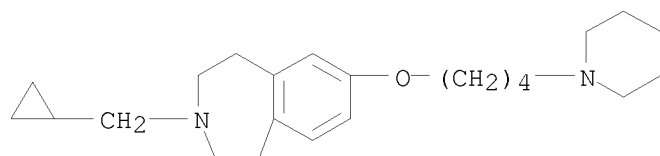
RN 684250-44-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(2-methylpropyl)-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



RN 684250-56-4 CAPLUS

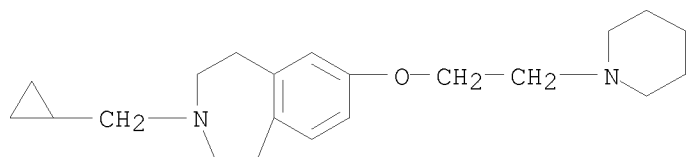
CN 1H-3-Benzazepine, 3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-7-[4-(1-piperidinyl)butoxy]- (CA INDEX NAME)



RN 684250-57-5 CAPLUS

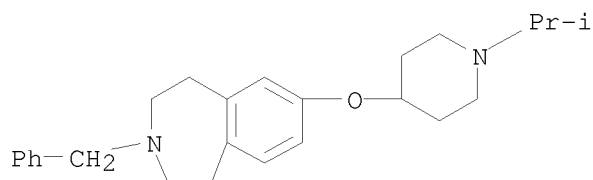
CN 1H-3-Benzazepine, 3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-7-[2-(1-piperidinyl)ethoxy]- (CA INDEX NAME)

10/599,636



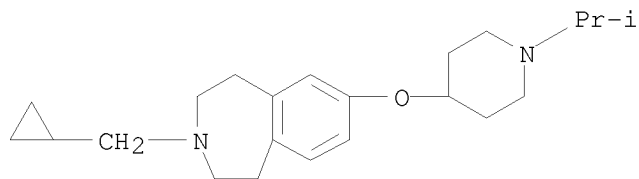
RN 684250-59-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-[[1-(1-methylethyl)-4-piperidinyl]oxy]-3-(phenylmethyl)- (CA INDEX NAME)



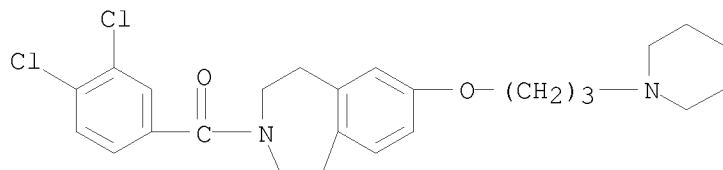
RN 684250-61-1 CAPLUS

CN 1H-3-Benzazepine, 3-(cyclopropylmethyl)-2,3,4,5-tetrahydro-7-[[1-(1-methylethyl)-4-piperidinyl]oxy]- (CA INDEX NAME)



RN 684250-69-9 CAPLUS

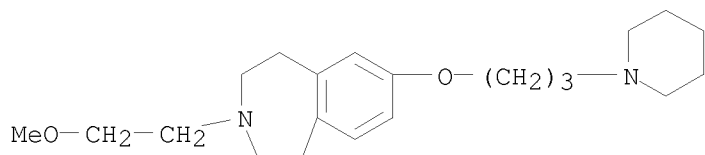
CN Methanone, (3,4-dichlorophenyl)[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 684250-71-3 CAPLUS

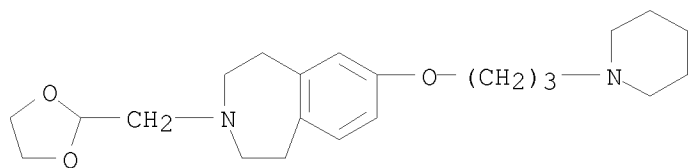
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(2-methoxyethyl)-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)

10/599,636



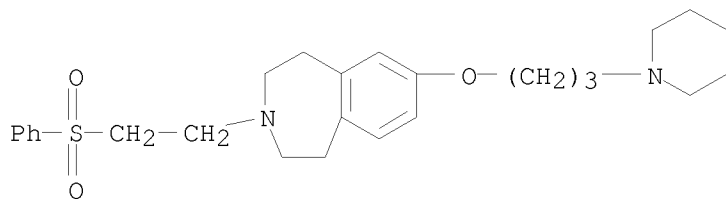
RN 684250-72-4 CAPLUS

CN 1H-3-Benzazepine, 3-(1,3-dioxolan-2-ylmethyl)-2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



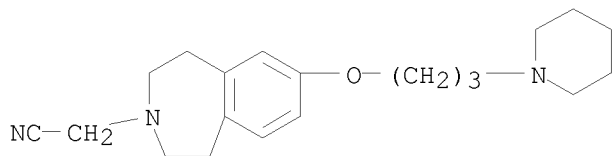
RN 684250-73-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-[2-(phenylsulfonyl)ethyl]-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



RN 684250-74-6 CAPLUS

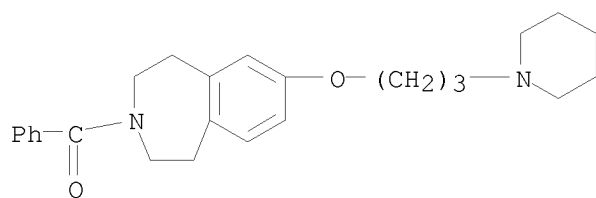
CN 3H-3-Benzazepine-3-acetonitrile, 1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



RN 684250-75-7 CAPLUS

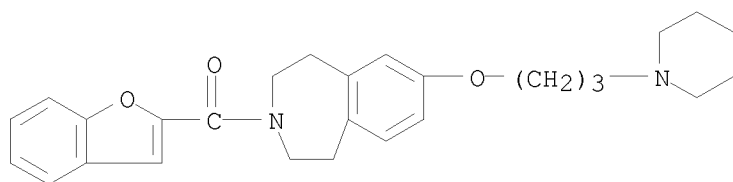
CN Methanone, phenyl[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

10/599,636



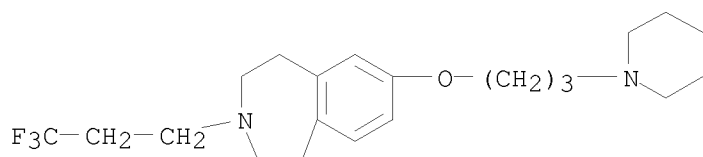
RN 684250-76-8 CAPLUS

CN Methanone, 2-benzofuranyl[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



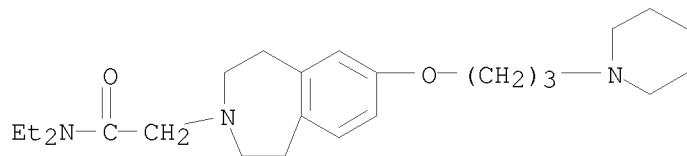
RN 684250-77-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3-(3,3,3-trifluoropropyl)- (CA INDEX NAME)



RN 684250-78-0 CAPLUS

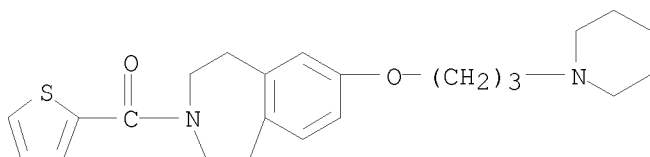
CN 3H-3-Benzazepine-3-acetamide, N,N-diethyl-1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



RN 696607-42-8 CAPLUS

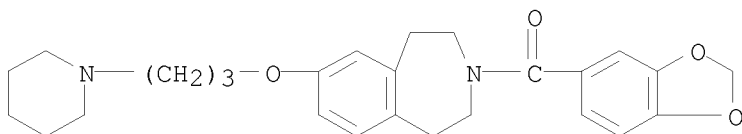
CN Methanone, [1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]-2-thienyl- (CA INDEX NAME)

10/599,636



RN 696607-66-6 CAPLUS

CN Methanone, 1,3-benzodioxol-5-yl[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



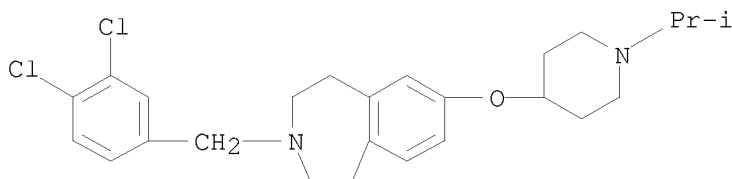
IT 684250-60-0P, 3-[(3,4-Dichlorophenyl)methyl]-7-[[1-(1-methylethyl)-4-piperidinyl]oxy]-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(reactant; preparation of benzo[d]azepine derivs. useful for treatment of neurol. and psychiatric disorders)

RN 684250-60-0 CAPLUS

CN 1H-3-Benzazepine, 3-[(3,4-dichlorophenyl)methyl]-2,3,4,5-tetrahydro-7-[[1-(1-methylethyl)-4-piperidinyl]oxy]- (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:267241 CAPLUS

DOCUMENT NUMBER: 140:303538

TITLE: Preparation of [[(aminoalkyl)aryl]oxy]nicotinamides and analogs as opioid receptor antagonist for treatment of obesity and related conditions

INVENTOR(S): Blanco-Pillado, Maria-Jesus; Chappell, Mark Donald; Garcia De la Torre, Marta; Diaz Buezo, Nuria; Fritz, James Erwin; Holloway, William Glen; Matt, James Edward, Jr.; Mitch, Charles Howard; Pedregal-Tercero, Concepcion; Quimby, Steven James; Siegel, Miles Goodman; Smith, Dana Rae; Stucky, Russell Dean; Takeuchi, Kumiko; Thomas, Elizabeth Marie; Wolfe, Chad Nolan

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 559 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

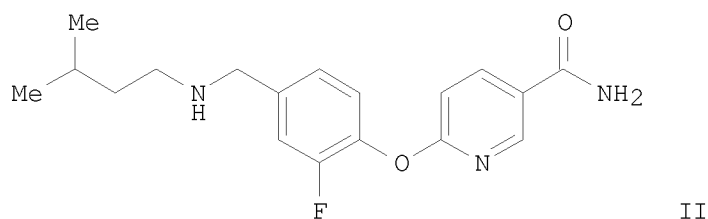
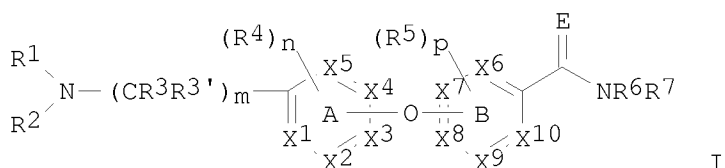
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026305	A1	20040401	WO 2003-US26300	20030917
WO 2004026305	A9	20040513		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2499690	A1	20040401	CA 2003-2499690	20030917
AU 2003269980	A1	20040408	AU 2003-269980	20030917
AU 2003269980	B2	20081016		
BR 2003014308	A	20050705	BR 2003-14308	20030917
EP 1562595	A1	20050817	EP 2003-751877	20030917
EP 1562595	B1	20080521		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1681498	A	20051012	CN 2003-822241	20030917
CN 1305852	C	20070321		
JP 2006511474	T	20060406	JP 2004-537682	20030917
NZ 538459	A	20080430	NZ 2003-538459	20030917
AT 395915	T	20080615	AT 2003-751877	20030917
ES 2305491	T3	20081101	ES 2003-751877	20030917
TW 287012	B	20070921	TW 2003-92125729	20030918
US 20060217372	A1	20060928	US 2005-526960	20050303
US 7381719	B2	20080603		
MX 2005003093	A	20050713	MX 2005-3093	20050318
IN 2005KN00457	A	20060303	IN 2005-KN457	20050318
NO 2005001871	A	20050418	NO 2005-1871	20050418
HK 1083188	A1	20090123	HK 2006-102045	20060216

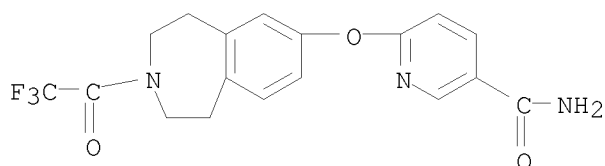
US 20080255152	A1	20081016	US 2008-52994	20080321
US 20080269296	A1	20081030	US 2008-52972	20080321
AU 2008246245	A1	20081211	AU 2008-246245	20081119
PRIORITY APPLN. INFO.:			US 2002-412158P	P 20020919
			AU 2003-269980	A3 20030917
			WO 2003-US26300	W 20030917
			US 2005-526960	A1 20050303
OTHER SOURCE(S):	MARPAT 140:303538			
GI				



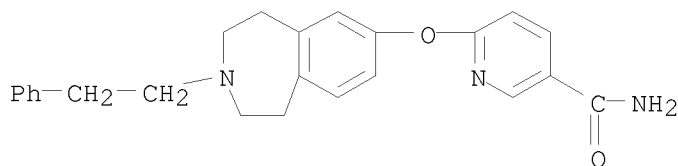
AB Title diaryl ethers I [wherein X1-X10 = independently C, CH, or N; provided that each of rings A or B has no more than 2 N atoms; E = O or NH; R1 and R2 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (alkyl)aryl, (aryl)heterocyclyl, (cyclo)alkylheterocyclyl, (cyclo)alkanoylalkyl, aroylalkyl, aryloxyalkyl, benzhydryl, bicycyl(alkyl), benzoyl(alkyl), alkoxyalkyl, alkoxy carbonyl, (aryl)alkylsulfonyl, heterocyclylalkylsulfonyl, cycloalkylalkyl, carboxyalkyl, carbamoylalkyl, etc.; R3 and R3' = independently H, alkyl, alkenyl, alkynyl, (alkyl)aryl, or alkylcycloalkyl; R4 and R5 = independently H, (halo)alkyl, alkenyl, alkynyl, alkoxy(halo)alkyl, thioalkyl, halo, aryl(alkyl), alkanoyl, alkoxy carbonyl, aminoalkyl, cycloalkylalkyl, etc.; R6 and R7 = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkanoyl, OH, alkoxy, (aryl)alkylsulfonyl, heterocyclylalkylsulfonyl, aryl(alkyl), carbamoyl(alkyl), etc.; m = 1-3; n = 0-3; p = 0-3; or pharmaceutically acceptable salts, solvates, enantiomers, racemates, diastereomers, or mixts. thereof] were prepared as  $\mu$ -,  $\kappa$ -, and  $\delta$ -opioid receptor antagonists. For example, reductive amination of 6-(2-fluoro-4-formylphenoxy)nicotinamide and 3-methylbutylamine provided II (99%). The latter inhibited ex vivo binding of [3H]-diprenorphine in rat striatum/nucleus accumbens by >65% at a concentration of 7 mg/kg. In an acute feeding rat obesity assay, II suppressed opioid receptors at a dose of 0.3  $\mu$ g/kg. In addition, diet-induced obese rats achieved an energy balance (caloric intake minus utilization) of -81 kcal/kg/day upon administration of 0.3 mg/kg p.o. of II in an indirect

calorimetry assay. Thus, I and their pharmaceutical compns. are useful for the treatment, prevention, or amelioration of obesity and related diseases.

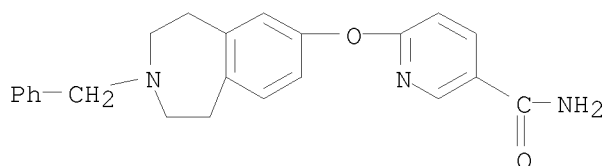
- IT 676496-19-8P, 6-[[3-(2,2,2-Trifluoroacetyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]oxy]nicotinamide  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of (aryloxy)nicotinamides and analogs as opioid receptor antagonist for treatment of obesity and related conditions)
- RN 676496-19-8 CAPLUS
- CN 3-Pyridinecarboxamide, 6-[[2,3,4,5-tetrahydro-3-(2,2,2-trifluoroacetyl)-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)



- IT 676496-18-7P, 6-[(3-Phenethyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)oxy]nicotinamide 676496-21-2P,  
 6-[(3-Benzyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)oxy]nicotinamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (opioid receptor antagonist; preparation of (aryloxy)nicotinamides and analogs as opioid receptor antagonist for treatment of obesity and related conditions)
- RN 676496-18-7 CAPLUS
- CN 3-Pyridinecarboxamide, 6-[[2,3,4,5-tetrahydro-3-(2-phenylethyl)-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)



- RN 676496-21-2 CAPLUS
- CN 3-Pyridinecarboxamide, 6-[[2,3,4,5-tetrahydro-3-(phenylmethyl)-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)

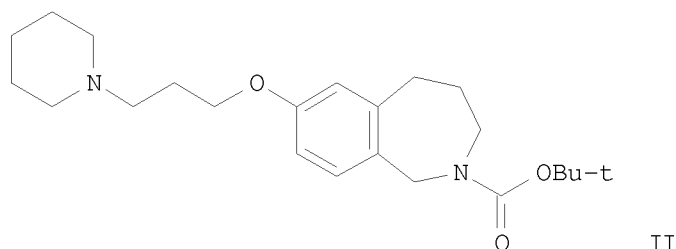
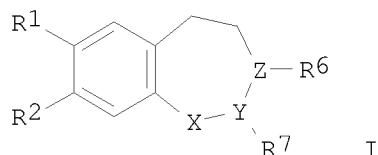


10/599,636

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

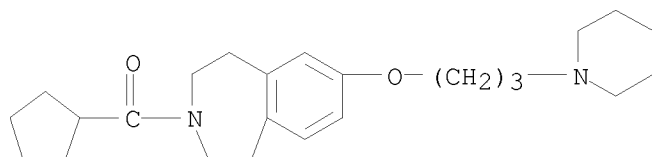
L8 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2004:182847 CAPLUS  
 DOCUMENT NUMBER: 140:235617  
 TITLE: Preparation of substituted azepines as histamine H3  
 receptor antagonists  
 INVENTOR(S): Gadski, Robert Alan; Hipskind, Philip Arthur;  
 Jesudason, Cynthia Darshini; Pickard, Richard Todd;  
 Beavers, Lisa Selsam  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018432	A1	20040304	WO 2003-US23266	20030815
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003256793	A1	20040311	AU 2003-256793	20030815
EP 1539704	A1	20050615	EP 2003-792991	20030815
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006500376	T	20060105	JP 2004-530848	20030815
US 20060089347	A1	20060427	US 2005-523071	20051018
PRIORITY APPLN. INFO.:			US 2002-405053P	P 20020820
			WO 2003-US23266	W 20030815
OTHER SOURCE(S):		MARPAT 140:235617		
GI				



- AB Title compds. I [R1-2 = H, alkoxy, amino, etc.; X = CH<sub>2</sub>, CO; Y, Z = CH<sub>2</sub>, N, provided only one of Y, Z can be N; R6-7 = H, alkyl, carboxy, etc.] are prepared For instance, 2,3,4,5-tetrahydro-1H-benzo[c]azepine-7-ol•HBr (preparation given) is protected (CH<sub>2</sub>Cl<sub>2</sub>, Et<sub>3</sub>N, Boc<sub>2</sub>O) and alkylated with 1-(3-chloropropyl)piperidine (DMF, NaH) to give II. II has K<sub>i</sub> = 5.1 for the histamine H<sub>3</sub> receptor and K<sub>i</sub> ≥ 20,000, 648 and 813 for the histamine H<sub>4</sub>, H<sub>1</sub> and H<sub>2</sub> receptors resp. I are useful for the treatment of obesity.
- IT 667398-83-6P, Cyclopentyl[7-[3-(piperidinyl)propoxy]-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl]methanone 667398-94-9P, (S)-(1-Methylpyrrolidin-2-yl)[7-[3-(piperidinyl)propoxy]-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl]methanone 667398-95-0P, 2-Phenylamino-1-[7-[3-(piperidinyl)propoxy]-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl]ethanone 667398-96-1P, 2-Dimethylamino-1-[7-[3-(piperidinyl)propoxy]-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl]ethanone 667398-97-2P 667398-98-3P, Methyl[2-oxo-2-[7-[3-(piperidinyl)propoxy]-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl]ethyl]carbamic acid tert-butyl ester  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of substituted azepines as histamine H<sub>3</sub> receptor antagonists)
- RN 667398-83-6 CAPLUS
- CN Methanone, cyclopentyl[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

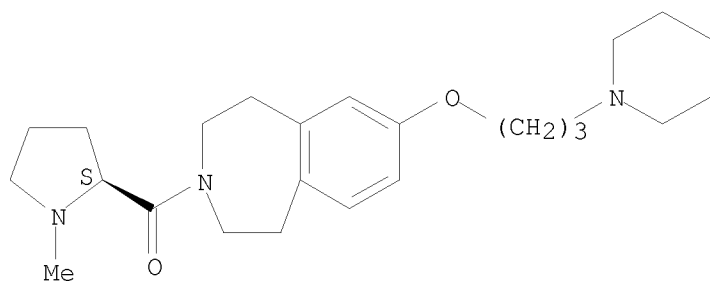
10/599,636



RN 667398-94-9 CAPLUS

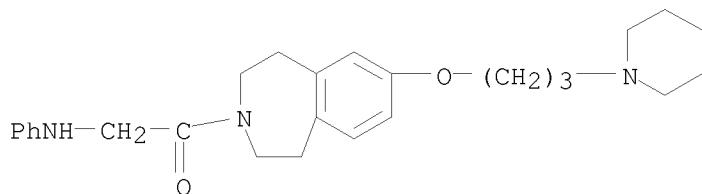
CN Methanone, [(2S)-1-methyl-2-pyrrolidinyl][1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



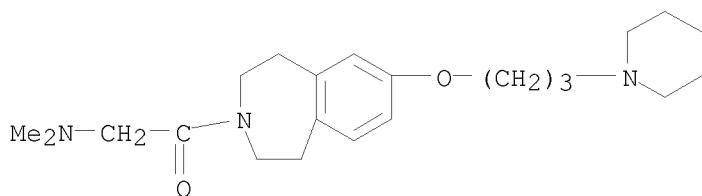
RN 667398-95-0 CAPLUS

CN Ethanone, 2-(phenylamino)-1-[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 667398-96-1 CAPLUS

CN Ethanone, 2-(dimethylamino)-1-[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

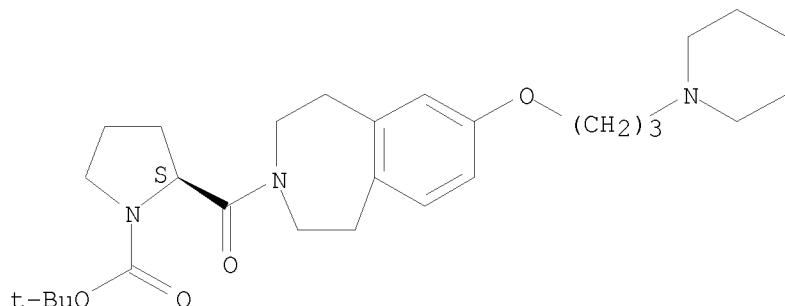


RN 667398-97-2 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[1,2,4,5-tetrahydro-7-[3-(1-

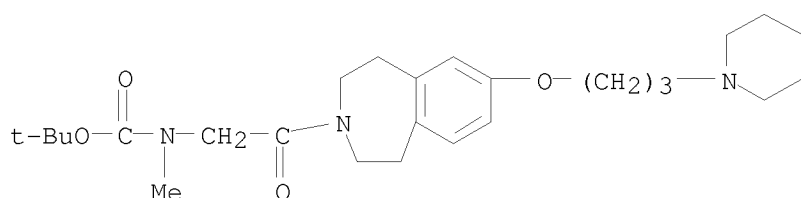
piperidinyl)propoxy]-3H-3-benzazepin-3-yl]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 667398-98-3 CAPLUS

CN Carbamic acid, methyl[2-oxo-2-[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 667398-74-5P, 3-Cyclohexylmethyl-7-[3-(piperidinyl)propoxy]-2,3,4,5-tetrahydro-1H-benzo[d]azepine 667398-75-6P, 3-Cyclohexylmethyl-7-[3-(piperidinyl)propoxy]-2,3,4,5-tetrahydro-1H-benzo[d]azepine dimaleate 667398-78-9P, 3-Benzyl-7-[3-(piperidinyl)propoxy]-2,3,4,5-tetrahydro-1H-benzo[d]azepine 667398-82-5P, 3-Ethyl-7-[3-(piperidinyl)propoxy]-2,3,4,5-tetrahydro-1H-benzo[d]azepine 667398-86-9P, 3-Isopropyl-7-[3-(piperidinyl)propoxy]-2,3,4,5-tetrahydro-1H-benzo[d]azepine 667398-87-0P, 1-[7-[3-(Piperidinyl)propoxy]-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl]ethanone 667398-88-1P, 3-Cyclopentylmethyl-7-[3-(piperidinyl)propoxy]-2,3,4,5-tetrahydro-1H-benzo[d]azepine 667398-99-4P, 2-Methylamino-1-[7-[3-(piperidinyl)propoxy]-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl]ethanone dihydrochloride 667399-00-0P, Dimethyl[2-[7-[3-(piperidinyl)propoxy]-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl]ethyl]amine 667399-01-1P, (S)-3-(1-Methylpyrrolidin-2-ylmethyl)-7-[3-(piperidinyl)propoxy]-2,3,4,5-tetrahydro-1H-benzo[d]azepine 667399-02-2P, [7-[3-(Piperidinyl)propoxy]-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl](pyrrolidin-2-yl)methanone dihydrochloride 667399-03-3P, Phenyl[2-[7-[3-(piperidinyl)propoxy]-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl]ethyl]amine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

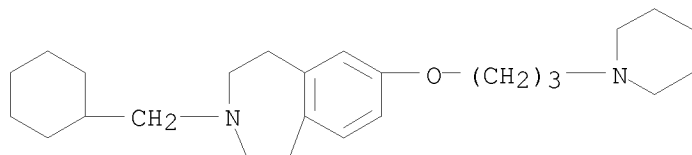
10/599,636

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted azepines as histamine H3 receptor antagonists)

RN 667398-74-5 CAPLUS

CN 1H-3-Benzazepine, 3-(cyclohexylmethyl)-2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



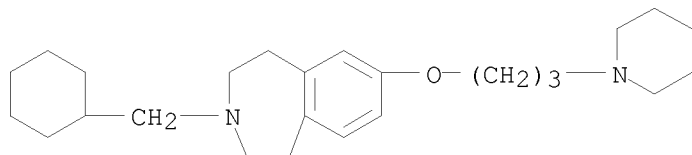
RN 667398-75-6 CAPLUS

CN 1H-3-Benzazepine, 3-(cyclohexylmethyl)-2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-, (2Z)-2-butenedioate (1:2) (CA INDEX NAME)

CM 1

CRN 667398-74-5

CMF C25 H40 N2 O

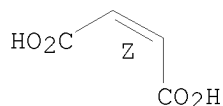


CM 2

CRN 110-16-7

CMF C4 H4 O4

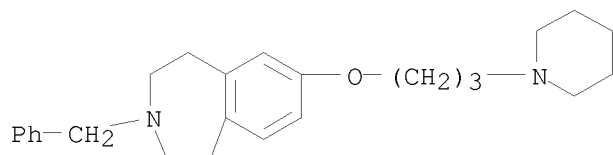
Double bond geometry as shown.



RN 667398-78-9 CAPLUS

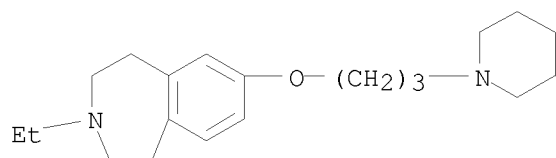
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)

10/599,636



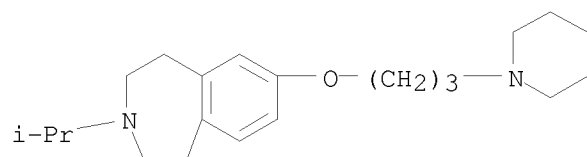
RN 667398-82-5 CAPLUS

CN 1H-3-Benzazepine, 3-ethyl-2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-  
(CA INDEX NAME)



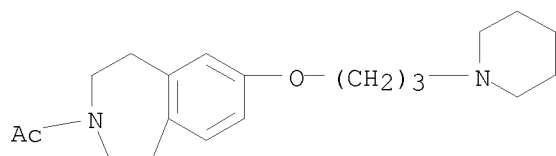
RN 667398-86-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



RN 667398-87-0 CAPLUS

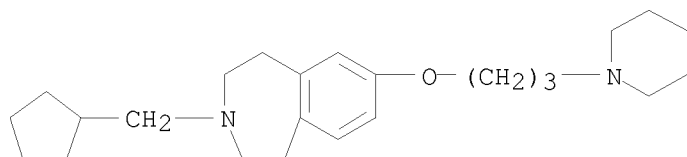
CN Ethanone, 1-[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 667398-88-1 CAPLUS

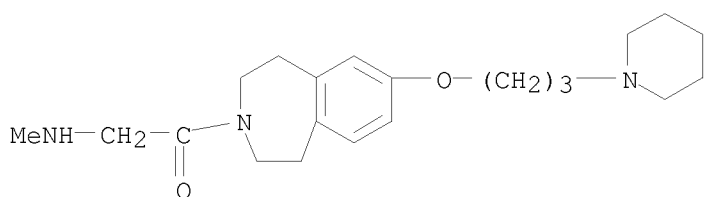
CN 1H-3-Benzazepine, 3-(cyclopentylmethyl)-2,3,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)

10/599,636



RN 667398-99-4 CAPLUS

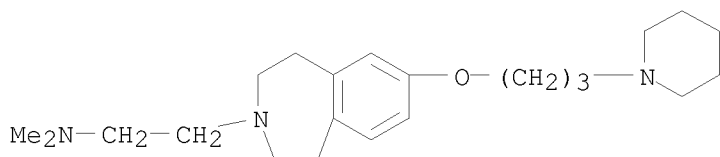
CN Ethanone, 2-(methylamino)-1-[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 667399-00-0 CAPLUS

CN 3H-3-Benzazepine-3-ethanamine, 1,2,4,5-tetrahydro-N,N-dimethyl-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)

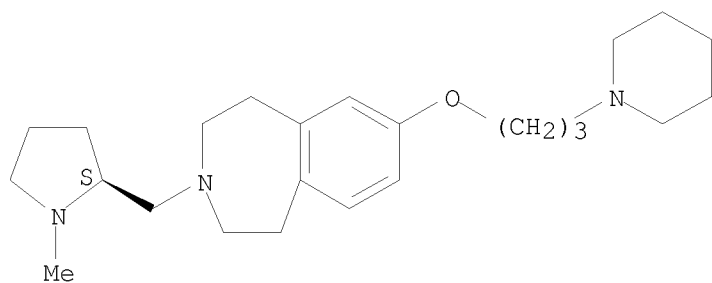


RN 667399-01-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-[[2S)-1-methyl-2-pyrrolidinyl]methyl]-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)

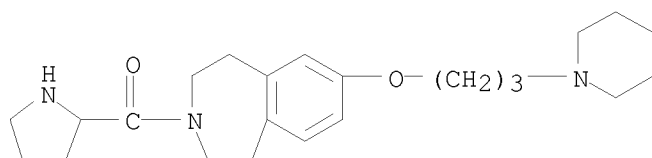
Absolute stereochemistry.

10/599,636



RN 667399-02-2 CAPLUS

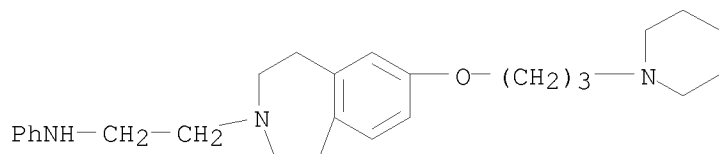
CN Methanone, 2-pyrrolidinyl[1,2,4,5-tetrahydro-7-[3-(1-piperidinyl)propoxy]-3H-3-benzazepin-3-yl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 667399-03-3 CAPLUS

CN 3H-3-Benzazepine-3-ethanamine, 1,2,4,5-tetrahydro-N-phenyl-7-[3-(1-piperidinyl)propoxy]- (CA INDEX NAME)



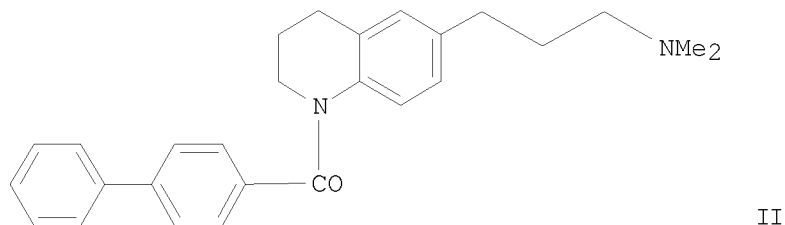
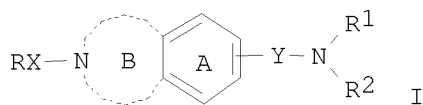
REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2001:851111 CAPLUS  
 DOCUMENT NUMBER: 136:5926  
 TITLE: Preparation of benzoaromatic derivatives as melanin  
 concentrating hormone antagonists  
 INVENTOR(S): Ishihara, Yuji; Terauchi, Jun; Suzuki, Nobuhiro;  
 Takekawa, Shiro; Aso, Kazuyoshi  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 285 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087834	A1	20011122	WO 2001-JP4015	20010515
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2408913	A1	20011122	CA 2001-2408913	20010515
JP 2002371059	A	20021226	JP 2001-145691	20010515
EP 1283199	A1	20030212	EP 2001-930132	20010515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20030158177	A1	20030821	US 2002-276288	20021112
US 7229986	B2	20070612		
PRIORITY APPLN. INFO.:			JP 2000-148647	A 20000516
			JP 2001-116219	A 20010413
			WO 2001-JP4015	W 20010515
OTHER SOURCE(S):	MARPAT 136:5926			
GI				



AB Title compds. [I; R = H, halo, cyclic; X = bond, spacer containing a chain with one to six atoms; Y = spacer with one to six atoms; A = benzene; B = 5-9 membered nitrogen containing nonarom. heterocycle; R1 = H, hydrocarbon, heterocycle; R2 = H, hydrocarbon, heterocycle; R1R2 = nitrogen containing heterocycle; YR2 = nitrogenous heterocycle], melanin-concentrating hormone antagonist, which contains a compound represented by the formula or a salt thereof are prepared useful as prevention or remedy for adiposity, diabetes, or high blood pressure. Thus, the title compound II was prepared and biol. tested.

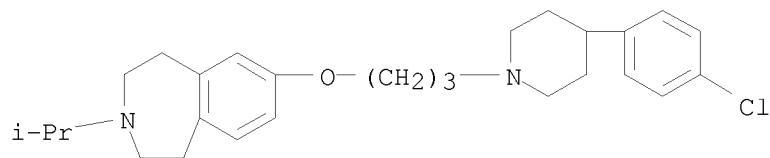
IT 374812-85-8P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzoarom. derivs. as melanin concentrating hormone antagonists)

RN 374812-85-8 CAPLUS

CN 1H-3-Benzazepine, 7-[3-[4-(4-chlorophenyl)-1-piperidinyl]propoxy]-2,3,4,5-tetrahydro-3-(1-methylethyl)- (CA INDEX NAME)



IT 374812-83-6P 374812-84-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

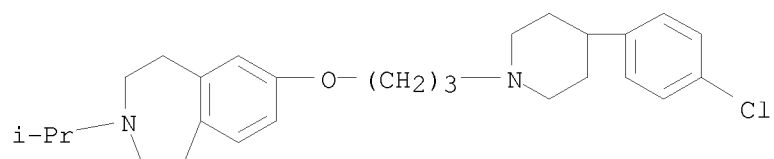
(preparation of benzoarom. derivs. as melanin concentrating hormone antagonists)

RN 374812-83-6 CAPLUS

CN 1H-3-Benzazepine, 7-[3-[4-(4-chlorophenyl)-1-piperidinyl]propoxy]-2,3,4,5-

10/599,636

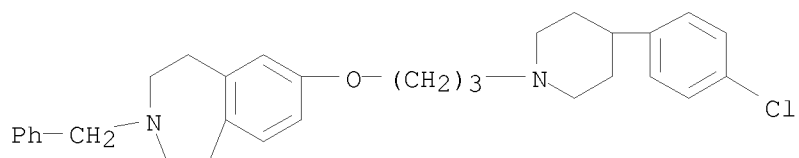
tetrahydro-3-(1-methylethyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 374812-84-7 CAPLUS

CN 1H-3-Benzazepine, 7-[3-[4-(4-chlorophenyl)-1-piperidinyl]propoxy]-2,3,4,5-tetrahydro-3-(phenylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 531 THERE ARE 531 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L8 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:603494 CAPLUS  
 DOCUMENT NUMBER: 135:190842  
 TITLE: Melanin-concentrating hormone antagonists  
 INVENTOR(S): Ishihara, Yuji; Suzuki, Nobuhiro; Takekawa, Shiro  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 88 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001226269	A	20010821	JP 2000-46827	20000218
PRIORITY APPLN. INFO.:			JP 2000-46827	20000218
OTHER SOURCE(S): MARPAT 135:190842				

AB Provided are melanin-concentrating hormone antagonists for preventing and treating obesity, diabetes, diabetic complications, atherosclerosis, or rheumatoid arthritis; and for use as appetite inhibitor. The melanin-concentrating hormone antagonists are novel piperidine derivs. The MCH antagonists comprise formula I [i.e. Ar-X1-X4-C5H9N-X2-C6H4-X3-R2], where Ar is a substituted group-containing aromatic ring, X1 is a substituted group-containing divalent main chain of 1-5 atoms, X2, X3 and X4 are linking arms, and R2 is a basic substituting group, and its salts.

IT 265101-83-5P 265101-86-8P 265101-88-0P

265101-95-9P 265101-97-1P 265102-00-9P

265102-03-2P 355396-28-0P

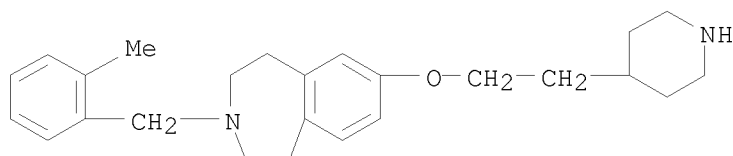
RL: ANT (Analyte); SPN (Synthetic preparation); THU (Therapeutic use);

ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)

(melanin-concentrating hormone antagonists preventing and treating obesity, diabetes, diabetic complications, atherosclerosis, or rheumatoid arthritis; and for use as appetite inhibitor)

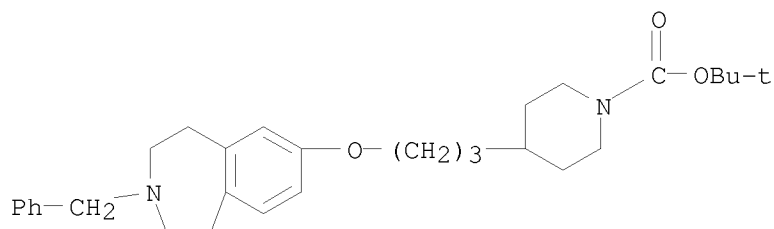
RN 265101-83-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-7-[2-(4-piperidinyl)ethoxy]- (CA INDEX NAME)



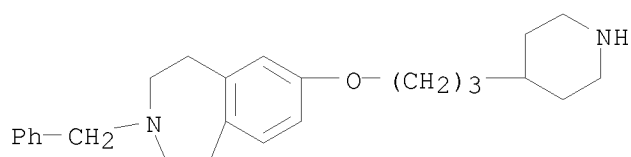
RN 265101-86-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[3-[[2,3,4,5-tetrahydro-3-(phenylmethyl)-1H-3-benzazepin-7-yl]oxy]propyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



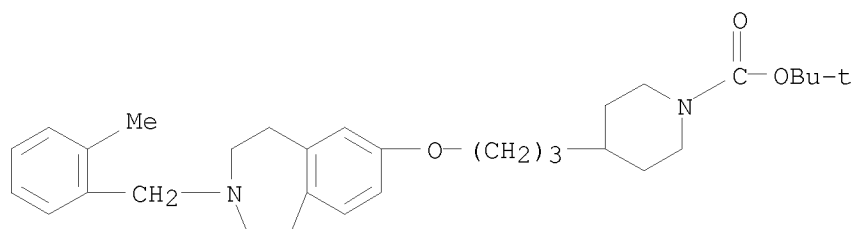
RN 265101-88-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-7-[3-(4-piperidinyl)propoxy]- (CA INDEX NAME)



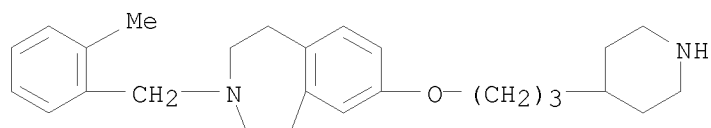
RN 265101-95-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[3-[[2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-1H-3-benzazepin-7-yl]oxy]propyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 265101-97-1 CAPLUS

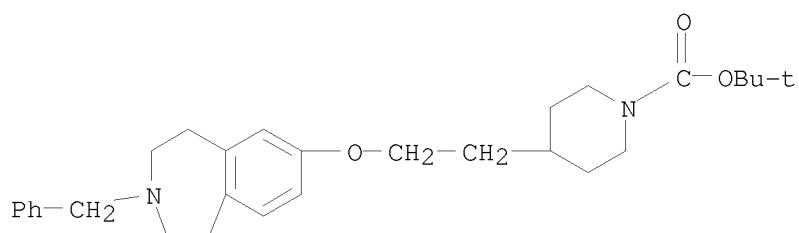
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-7-[3-(4-piperidinyl)propoxy]- (CA INDEX NAME)



RN 265102-00-9 CAPLUS

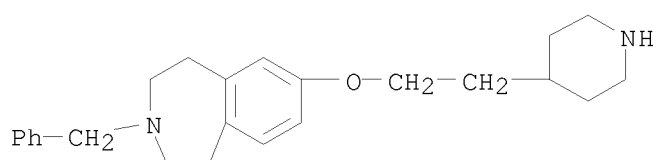
CN 1-Piperidinecarboxylic acid, 4-[2-[[2,3,4,5-tetrahydro-3-(phenylmethyl)-1H-3-benzazepin-7-yl]oxy]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

10/599,636



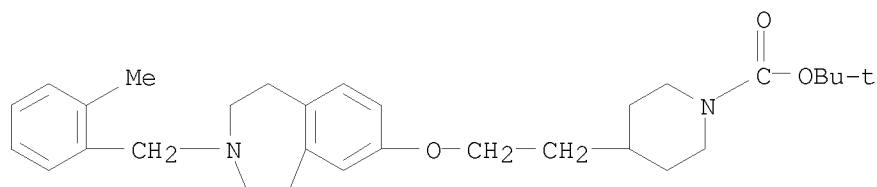
RN 265102-03-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-7-[2-(4-piperidinylethoxy)]- (CA INDEX NAME)



RN 355396-28-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-1H-3-benzazepin-7-yl]oxy]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L8 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:277975 CAPLUS

DOCUMENT NUMBER: 132:308254

TITLE: Preparation of heterocyclic compounds as thermogenesis accelerators

INVENTOR(S): Ishihara, Yuji; Fujisawa, Yukio; Furuyama, Naoki; Ishichi, Yuji; Sasaki, Mitsuru

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 260 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

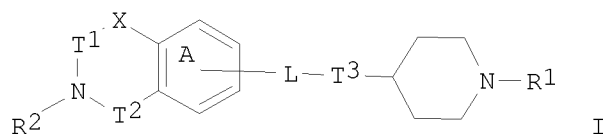
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023437	A1	20000427	WO 1999-JP5705	19991015
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2347095	A1	20000427	CA 1999-2347095	19991015
AU 9961236	A	20000508	AU 1999-61236	19991015
JP 2000186088	A	20000704	JP 1999-293493	19991015
JP 2000186091	A	20000704	JP 1999-293649	19991015
EP 1122252	A1	20010808	EP 1999-947923	19991015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			JP 1998-295213	A 19981016
			JP 1998-295488	A 19981016
			WO 1999-JP5705	W 19991015

OTHER SOURCE(S): MARPAT 132:308254

GI



AB The title compds. I [T1 = (CH<sub>2</sub>)<sub>k</sub>; T2 = (CH<sub>2</sub>)<sub>m</sub>; T3 = (CHR)<sub>n</sub>; A is a benzene ring which may be further substituted; L is O, S or the like; n is an integer of 0 to 6; R is hydrogen, optionally substituted hydrocarbyl, or the like; R1 is optionally substituted hydrocarbyl, etc.,; R2 is hydrogen, acyl or the like; X is O, S, etc.; and k and m are each independently a number of 0 to 5 and satisfy the relationship: 1 < k + m < 5] are prepared I are useful in the treatment of obesity. The concentration of cAMP in fat cells in the presence of 7-[2-[1-(phenylmethyl)-4-piperidinyl]ethoxy]-3-(phenylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine dihydrochloride (10-6 M) was 46.7 pmol/mL, vs. 2.7 pmol/mL in control fat cells. (Thermogenesis

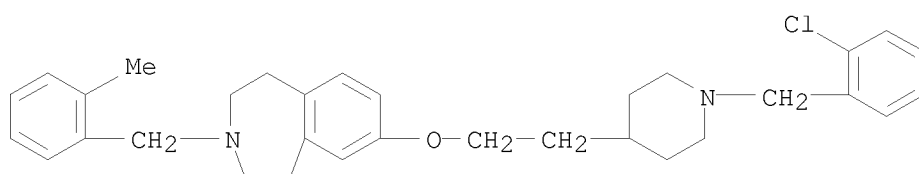
is increased when the concentration of cAMP in fat cells is increased).  
Formulations are given.

IT 265099-52-3P 265099-53-4P 265099-54-5P  
265099-55-6P 265099-58-9P 265099-59-0P  
265099-60-3P 265099-61-4P 265101-52-8P  
265101-53-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of heterocyclic compds. as thermogenesis accelerators)

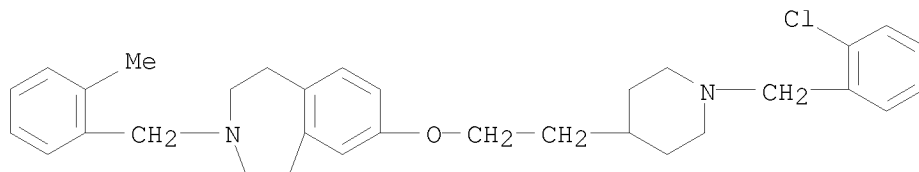
RN 265099-52-3 CAPLUS

CN 1H-3-Benzazepine, 7-[2-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]ethoxy]-2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]- (CA INDEX NAME)



RN 265099-53-4 CAPLUS

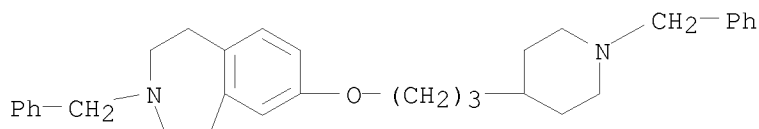
CN 1H-3-Benzazepine, 7-[2-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]ethoxy]-2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 265099-54-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-7-[3-[1-(phenylmethyl)-4-piperidinyl]propoxy]- (CA INDEX NAME)

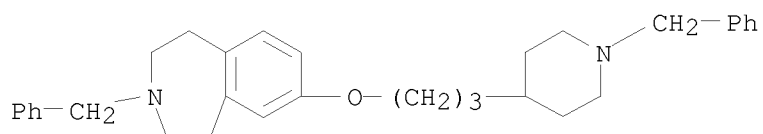


RN 265099-55-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-7-[3-[1-(phenylmethyl)-4-piperidinyl]propoxy]-, hydrochloride (1:2) (CA INDEX NAME)

10/599,636

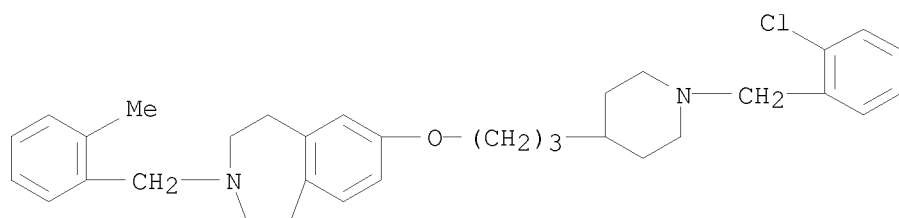
NAME)



● 2 HCl

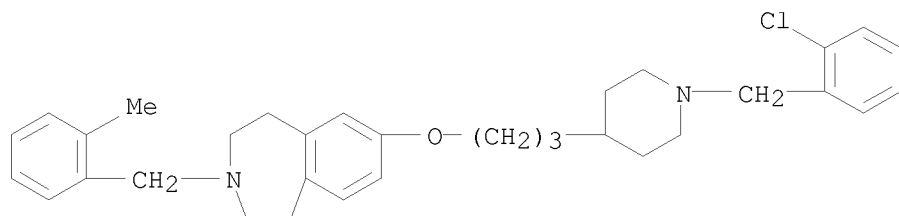
RN 265099-58-9 CAPLUS

CN 1H-3-Benzazepine, 7-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]propoxy]-2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]- (CA INDEX NAME)



RN 265099-59-0 CAPLUS

CN 1H-3-Benzazepine, 7-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]propoxy]-2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-, hydrochloride (1:2) (CA INDEX NAME)

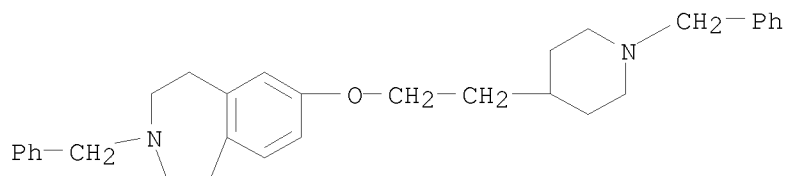


● 2 HCl

RN 265099-60-3 CAPLUS

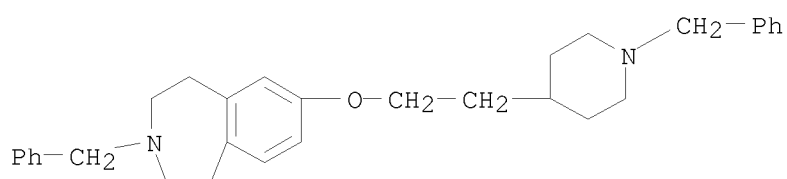
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-7-[2-[1-(phenylmethyl)-4-piperidinyl]ethoxy]- (CA INDEX NAME)

10/599,636



RN 265099-61-4 CAPLUS

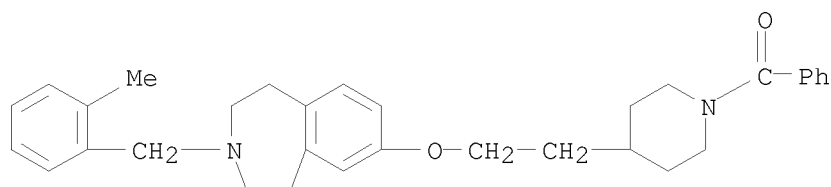
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-7-[2-[1-(phenylmethyl)-4-piperidinyl]ethoxy]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

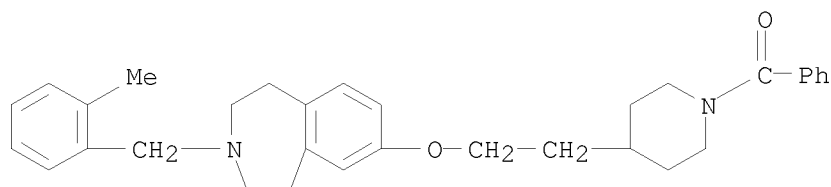
RN 265101-52-8 CAPLUS

CN Methanone, phenyl[4-[2-[[2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-1H-3-benzazepin-7-yl]oxy]ethyl]-1-piperidinyl]- (CA INDEX NAME)



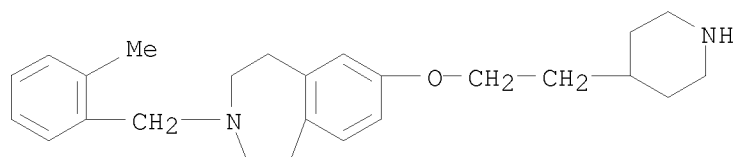
RN 265101-53-9 CAPLUS

CN Methanone, phenyl[4-[2-[[2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-1H-3-benzazepin-7-yl]oxy]ethyl]-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

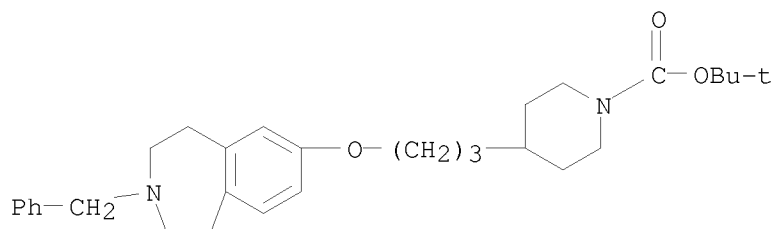


● HCl

IT 265101-83-5P 265101-86-8P 265101-88-0P  
 265101-95-9P 265101-97-1P 265102-00-9P  
 265102-03-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of heterocyclic compds. as thermogenesis accelerators)  
 RN 265101-83-5 CAPLUS  
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-7-[2-(4-  
 piperidinyl)ethoxy]- (CA INDEX NAME)

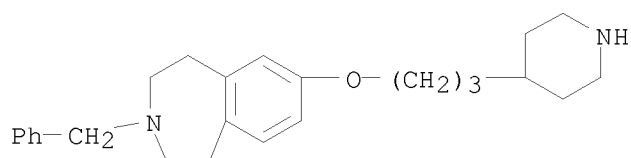


RN 265101-86-8 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[3-[[2,3,4,5-tetrahydro-3-(phenylmethyl)-1H-  
 3-benzazepin-7-yl]oxy]propyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



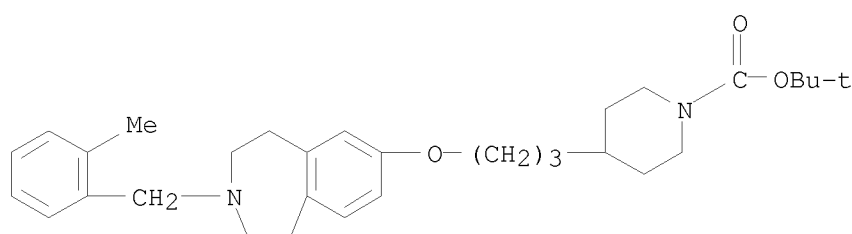
RN 265101-88-0 CAPLUS  
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-7-[3-(4-  
 piperidinyl)propoxy]- (CA INDEX NAME)

10/599,636



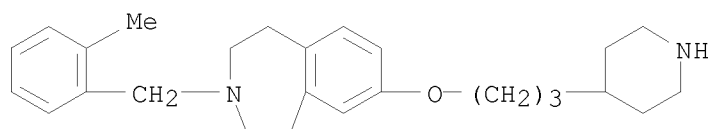
RN 265101-95-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[3-[[2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-1H-3-benzazepin-7-yl]oxy]propyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



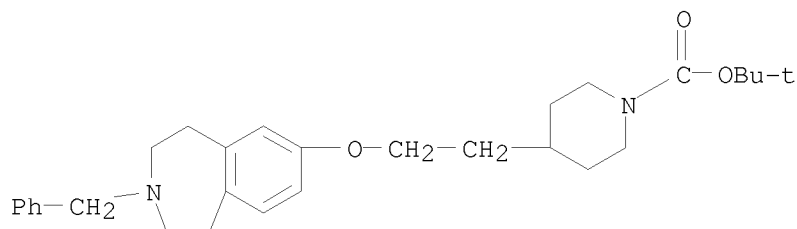
RN 265101-97-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-7-[3-(4-piperidinyl)propoxy]- (CA INDEX NAME)



RN 265102-00-9 CAPLUS

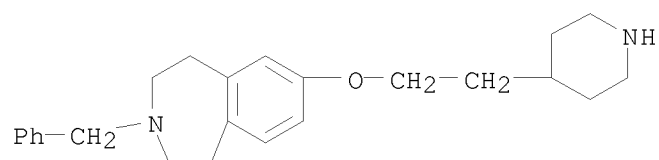
CN 1-Piperidinecarboxylic acid, 4-[2-[[2,3,4,5-tetrahydro-3-(phenylmethyl)-1H-3-benzazepin-7-yl]oxy]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 265102-03-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-7-[2-(4-piperidinyl)ethoxy]- (CA INDEX NAME)

10/599,636



REFERENCE COUNT:

78

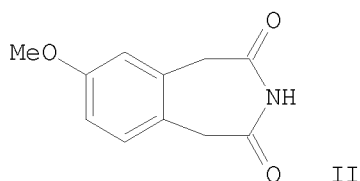
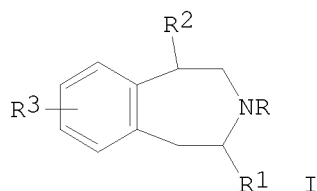
THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1981:47157 CAPLUS  
 DOCUMENT NUMBER: 94:47157  
 ORIGINAL REFERENCE NO.: 94:7689a,7692a  
 TITLE: Substituted 1,2,4,5-tetrahydro-3H,3 benzazepines  
 INVENTOR(S): Shetty, Bola V.  
 PATENT ASSIGNEE(S): Pennwalt Corp., USA  
 SOURCE: U.S., 30 pp. Division of U.S. Ser. No. 747,151,  
 abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

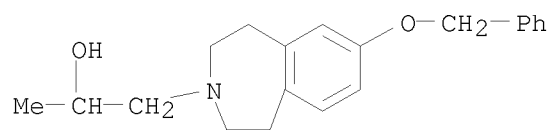
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4210749	A	19800701	US 1979-41574	19790521
US 4233217	A	19801111	US 1979-41575	19790521
PRIORITY APPLN. INFO.:			US 1968-711897	A1 19680311
			US 1972-241091	A2 19720404
			US 1974-523092	A1 19741112
			US 1976-747151	A3 19761203

OTHER SOURCE(S): MARPAT 94:47157  
 GI



AB Benzazepines I (R = H, alkyl, alkenyl, aralkenyl, cycloalkylalkyl, aralkyl, heterocyclic alkyl; R1 = H, alkyl, Ph, phenylalkyl; R2 = H, alkyl; R3 = H, alkoxy, alkyl, halo, NO2, HO), useful as analgesics and narcotic antagonists, were prepared Thus, treatment of 3,4-(NCCH2)2C6H3OMe with HBr-AcOH followed by heating at 85° with NaOAc gave II, which was treated with BH3 to give I (R = R1 = R2 = H, R3 = MeO) (III). Refluxing III in 48% HBr gave I (R = R1 = R2 = H, R3 = HO).  
 IT 36134-31-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and acylation of)  
 RN 36134-31-3 CAPLUS  
 CN 3H-3-Benzazepine-3-ethanol, 1,2,4,5-tetrahydro- $\alpha$ -methyl-7-(phenylmethoxy)- (CA INDEX NAME)

10/599,636

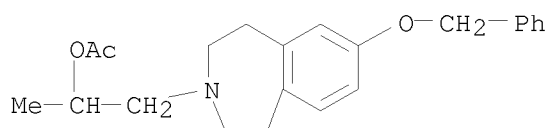


IT 76209-91-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydrogenation of)

RN 76209-91-1 CAPLUS

CN 3H-3-Benzazepine-3-ethanol, 1,2,4,5-tetrahydro-α-methyl-7-  
(phenylmethoxy)-, 3-acetate (CA INDEX NAME)



L8 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1974:82731 CAPLUS  
 DOCUMENT NUMBER: 80:82731  
 ORIGINAL REFERENCE NO.: 80:13309a,13312a  
 TITLE: 1,2,4,5-Tetrahydro-3H,3-benzazepines  
 INVENTOR(S): Shetty, Bola V.  
 PATENT ASSIGNEE(S): Pennwalt Corp.  
 SOURCE: Fr. Demande, 73 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2171879	A1	19730928	FR 1972-4829	19720214
FR 2171879	B1	19750425		

PRIORITY APPLN. INFO.: FR 1972-4829 A 19720214

GI For diagram(s), see printed CA Issue.

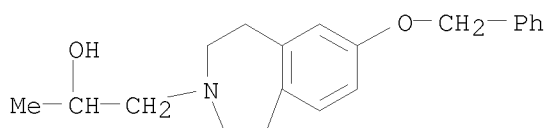
AB Benzazepines I (R = CH<sub>2</sub>CH:CM<sub>2</sub>, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, allyl, 2-(4-phenylpiperazino)-ethyl, CH<sub>2</sub>CMe:CH<sub>2</sub>, CH<sub>2</sub>C.tplbond.CH, Me, Et, Pr, CH<sub>2</sub>CH<sub>2</sub>Ph, CHMeCH<sub>2</sub>Ph, CH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NH<sub>2</sub>-p, CH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NHAc-p, CH<sub>2</sub>CH:CHPh, trans-2-phenylcyclopropylmethyl, CH<sub>2</sub>CH<sub>2</sub>OAc, CH<sub>2</sub>CHMeOAc, CHMeCH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NH<sub>2</sub>-p) were prepared by substitution of I (R = H). I (R = H, R<sub>1</sub> = Me) was prepared by methylating 3,4-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>OH, oxidizing the 3,4-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>OMe, converting the 4-MeOC<sub>6</sub>H<sub>4</sub>(CO<sub>2</sub>H)<sub>2</sub>-1,2 to its anhydride, reducing to 4-MeOC<sub>6</sub>H<sub>4</sub>(CH<sub>2</sub>OH)<sub>2</sub>-1,2, and converting to 4-MeOC<sub>6</sub>H<sub>4</sub>(CH<sub>2</sub>Br)<sub>2</sub>-1,2 and 4-MeOC<sub>6</sub>H<sub>4</sub>(CH<sub>2</sub>CN)<sub>2</sub>-1,2, which was cyclized to 7-methoxy-1,2,4,5-tetrahydro-3H-3-benzazepine-2,4-dione and reduced with BH<sub>3</sub>. Demethylation with HBr gave I (R = R<sub>1</sub> = H). I are analgesics and narcotic antagonists. Thus, I (R = CH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NHAc-p, R<sub>1</sub> = Me) had an oral ED<sub>50</sub> in the writhing test of 32 mg/kg.

IT 36134-31-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 36134-31-3 CAPLUS

CN 3H-3-Benzazepine-3-ethanol, 1,2,4,5-tetrahydro- $\alpha$ -methyl-7-(phenylmethoxy)- (CA INDEX NAME)



L8 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1973:526338 CAPLUS  
 DOCUMENT NUMBER: 79:126338  
 ORIGINAL REFERENCE NO.: 79:20507a,20510a  
 TITLE: 1,2,4,5-Tetrahydro-3H-3-benzazepines  
 INVENTOR(S): Shetty, Bola V.  
 PATENT ASSIGNEE(S): Pennwalt Corp.  
 SOURCE: Ger. Offen., 82 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2207430	A1	19730823	DE 1972-2207430	19720214
DE 2207430	B2	19810723		
DE 2207430	C3	19820513		

PRIORITY APPLN. INFO.: DE 1972-2207430 19720214

GI For diagram(s), see printed CA Issue.

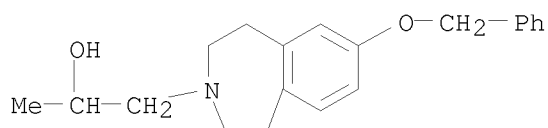
AB Benzazepines I (R = H, CH<sub>2</sub>CH:Me<sub>2</sub>, CH<sub>2</sub>Me:CH<sub>2</sub>, CH<sub>2</sub>CH:CHPh, allyl, CH<sub>2</sub>C.tplbond.CH, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, trans-2-phenylcyclopropylmethyl, Me, Et, Pr, CH<sub>2</sub>CH<sub>2</sub>Ph, CHMeCH<sub>2</sub>Ph, CH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NH<sub>2</sub>-p, CHMeCH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NH<sub>2</sub>-p, CH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NHAc-p, CH<sub>2</sub>CH<sub>2</sub>OAc, (CH<sub>2</sub>)<sub>3</sub>OAc, 4-phenylpiperazinylethyl; R<sub>1</sub> = H, Me) were prepared. Thus, 3,4-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>OH was methylated and oxidized to give 3,4-(HO<sub>2</sub>C)C<sub>6</sub>H<sub>3</sub>OMe, whose anhydride was reduced to 3,4-(HOCH<sub>2</sub>)C<sub>6</sub>H<sub>3</sub>OMe, brominated to 3,4-(BrCH<sub>2</sub>)C<sub>6</sub>H<sub>3</sub>OMe, treated with NaCN to give 3,4-(NCCH<sub>2</sub>)C<sub>6</sub>H<sub>3</sub>OMe, which was cyclized with HBr-HOAc to 7-methoxy-1,2,4,5-tetrahydro-3H-3-benzazepine-2,4-dione and reduced with B<sub>2</sub>H<sub>6</sub> to I (R = H, R<sub>1</sub> = Me) from which the other I were derived. I demonstrated antihistaminic, analgesic, anticholinergic, and morphine antagonist activity.

IT 36134-31-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 36134-31-3 CAPLUS

CN 3H-3-Benzazepine-3-ethanol, 1,2,4,5-tetrahydro- $\alpha$ -methyl-7-(phenylmethoxy)- (CA INDEX NAME)



L8 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:153628 CAPLUS

DOCUMENT NUMBER: 76:153628

ORIGINAL REFERENCE NO.: 76:25036h,25037a

TITLE: 1,2,4,5-Tetrahydro-3H-3-benzazepines as analgesics and antagonists of narcotics

PATENT ASSIGNEE(S): Wallace and Tiernan, Inc.

SOURCE: Brit., 42 pp.

CODEN: BRXXAA

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1268243		19720322	GB 1969-12844	19690311
CA 974989			CA	
US 3719669		19730306	US	19720327
PRIORITY APPLN. INFO.:			US 1968-711897	19680311

GI For diagram(s), see printed CA Issue.

AB H-3-Benzazepines (I, R was usually 7- or 8-MeO or 7-OH; R1 was, e.g., H, alkyl, cycloalkylmethyl, substituted phenethyl, p-MeC6H4SO2, a cetoxyalkyl; R2 = H3 Me), useful as analgesics, anticholinergics, antihistamines, and antagonists of narcotics, were prepared Thus, 50 g 4-methoxy-o-benzenediacetimide (II) was reduced by borane in THF at 10° to give 28 g I (R = 7-MeO, R1 = R2 = H), analyzed as the maleate. II was prepared from 3,4-dimethylphenol by methylation, oxidation to 4-methoxyphthalic acid, formation of the anhydride, reduction to 4-methoxy-o-xylene- $\alpha,\alpha'$ -diol, dibromination of the diol, conversion to the dinitrile, and cyclization to the imide. Pharmacol. test results were given.

IT 36134-31-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 36134-31-3 CAPLUS

CN 3H-3-Benzazepine-3-ethanol, 1,2,4,5-tetrahydro- $\alpha$ -methyl-7-(phenylmethoxy)- (CA INDEX NAME)

